

Structure attributes must be viewed using STN Express query preparation.

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SAMPLE SEARCH INITIATED 15:17:35 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 260 TO ITERATE

100.0% PROCESSED 260 ITERATIONS 6 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS: 4233 TO 6167

PROJECTED ANSWERS: 6 TO 266

L2 6 SEA SSS SAM L1

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FULL SEARCH INITIATED 15:17:37 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 5360 TO ITERATE

100.0% PROCESSED 5360 ITERATIONS 154 ANSWERS

SEARCH TIME: 00.00.01

L3 154 SEA SSS FUL L1

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COST IN U.S. DOLLARS SINCE FILE TOTAL

ENTRY SESSION

FULL ESTIMATED COST 161.33 161.54

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FILE COVERS 1907 - 26 Dec 2005 VOL 144 ISS 1 FILE LAST UPDATED: 25 Dec 2005 (20051225/ED)

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http://www.cas.org/infopolicy.html

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=> d ibib abs hitstr tot THE ESTIMATED COST FOR THIS REQUEST IS 118.56 U.S. DOLLARS DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N:y

L4 ANSWER 1 OF 24 CAPLUS COPYRIGHT 2005 ACS ON STN ACCESSION NUMBER: 2005:1154157 CAPLUS DOCUMENT NUMBER: 143:422465

DOCUMENT NUMBER:

Preparation of phosphonate analogs of HIV protease inhibitors and methods for identifying anti-HIV

therapeutic compounds
Arimilli, Murty N.; Becker, Mark M.; Birkus, Gabriel
USA
U.S. Pat. Appl. Publ., 1034 pp.
CODEN: USXXCO
Patent
English 8
8 INVENTOR(S): PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO. DATE APPLICATION NO. KIND US 2005239054
VO 2003090690
A2
VI AE, AG, AL, AM,
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AM, US 2003-740694 VO 2003-US12901 20031222 20030425 BA, BB, BG, BR, BY, DZ, EC, EE, ES, FI, JP, KE, KG, KP, KR, KK, MI, M4, M2, M2, SE, SG, SK, SL, TJ, YU, ZA, ZH, ZY, ZZ, LU, MC, MI, PT, RO, GM, GO, GO, GO, GO, GY, ML, MR, WO 2003-US12926 BZ, CA, GB, GD, KZ, LC, NI, NO, TM, TN, CH, GE, LK, NZ, TR, ZW, AM, AZ, BY, DE, DK, EE, ES, SE, SI, SK, TR, NE, SN, TD, TG 20030425

ANSWER 1 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) or pharmaceutically acceptable salts, hydrates, and formulations thereof) and other phosphonate-substituted analogs of HIV protease inhibitors for treating AIDS and other antiviral infections, as well as for use in assays for the detection of HIV protease. Compds. of the invention inhibit reverse transcriptase activity and have improved intracellular half-life compared to analogs not having the phosphonate or phosphonate prodrug. Libraries of such compds. vere screened optionally using the novel enzyme GS-7340 ester hydrolase. Compns. and methods relating to GS-7340 ester hydrolase also are provided. Examples include prepas, for non-nucleoside phosphonate protease inhibitors. In addn., extensive biol. data regarding PBKC uptake and metab., serum stability, and alk, phosphatase protease inhibitor (ALPPI) activity of selected phosphonate-substituted prodrugs is presented. For instance, a 9-step reaction sequence starting from N-tert-butoxycachonyl-O-benzyl-L-tyrosine provided III (Ki \$10 pM of ALPPI activity). The synthesis involved multiple protection and deprotection ateps along with coupling reactions using isobutylamine, (3R, 3aR, 63S)-hexahydrofuro(2,3-9-10furan-2-yl 4-nitrophenyl carbonate, and dibenzyl hydroxymethylphosphonate. 622871-49-99 622871-49-90 622871-40-3P 62287

RI: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(Uses)
(protease inhibitors preparation of phosphonate-substituted HIV protease inhibitors for treatment of AIOS and other viral infections)
622871-38-9 CAPLUS
Benzamide, N-[(15,2R)-3-[(4-aminophenyl)sulfonyl](2-methylpropyl)amino]-1-[(4-(diphenylphosphinyl)methoxy]phenyl]methyl]-2-hydroxypropyl]-3-hydroxy-4-methyl-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

622871-39-0 CAPLUS bd28f:13-v Crows
Phosphonic acid, [[4-[[25,3R]-4-[[(4-aminophenyl)sulfonyl][2-methylpropyl)amino]-3-hydroxy-2-[(3-hydroxy-4-methylbenzoyl)amino]butyl]phenoxy]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 1 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

NO, NZ, OM, PG, PH, PL, PT, RO, RNJ, SC, SD, SE, SG, SK, SL, SY,
TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZY
RW: BY, GH, GM, RE, LS, MY, MZ, NN, SD, SL, SZ, TZ, UG, ZM, ZY, AM,
AZ, BY, KG, RZ, MD, RU, TJ, TH, AT, BE, BG, CH, CY, CZ, DE, DX,
EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL,
RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GY, ML,
MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.:

US 2002-375652P
P 20202026

US 2002-375622P US 2002-375665P US 2002-3757979 US 2002-375834P US 2003-421490 US 2003-421490 US 2003-42130 US 2003-465721P US 2003-465810P P 20020426 P 20020426 P 20020426 P 20020426 A2 20030425 A2 20030425 A2 20030425 P 20030425 P 20030425 A2 20030425

GI

The invention relates to phosphonate-substituted carbamates I and cyclic ureas II [wherein A = A1, A2, or w3 with the proviso that at least one of A = A1; A1 = [Y2(CRXR2]1-12]0-12Y2W3: W3 = substituted (hetero)cyclyl, R5, CY11R5, CY11W5, SOZR5, or SOZW5: W5 = substituted (hetero)cyclyl; W6 = triphosphono-substituted w3; Y1 = O, S, N[RN], N(O)(RN), N(ORN), N(O(RN), or N(N(RN),2); Y2 = independently a bond. O, N(RN], N(O(RN), N(ORN), N(O(RN), N(N(RN),2); SOO-2, or SOO-2; Rx = independently H, R1, W3, a protecting group, etc.; R1 = independently H or alkyl; R2 = independently H, R1, A1O, CN, N3, NO2, Y1, RN, N(RN)2, SOZRN, SOUNDSTITUTE (SOZNN, SOZNN, CY1), RN, N(RN)2, SOZNN, SOZNN, CY1), RN, O(ZY1, RN, N(RN)2, SOZN, SOZNN, COYN), OSZNN, CY1), RN, O(ZY1), RN, N(RN)2, SRN, SORN, SOZNN, COYN), RN, CY1), ORN, CY1), RN, N(RN)2, SRN, SORN, SOZNN, CY1, RN, CY1), ORN, CY1), RN, N(RN)2, SRN, SORN, SOZNN, CY1), RN, CY1), ORN, CY1), RN, N(RN)2, SRN, SORN, SOZNN, CY1), RN, CY1), ORN, CY1), RN, N(RN)2, SRN, SORN, SOZNN, CY1), RN, CY1), ORN, CY1), RN, N(RN)2, SRN, SORN, SOZNN, CY1), RN, CY1), ORN, CY1), RN, N(RN)2, SRN, SORN, SOZNN, CY1), RN, CY1), ORN, CY1), RN, N(RN)2, SRN, SORN, SOZNN, CY1), RN, CY1), ORN, CY1), RN, N(RN)2, SRN, SORN, SOZNN, CY1), RN, CY1), ORN, CY1), RN, N(RN)2, SPN, SORN, SOZNN, CY1), RN, CY1), ORN, CY1), RN, N(RN)2, SPN, SORN, SOZNN, CY2), RN, CY1), RN, CY1), ORN, CY1), RN, N(RN)2, SPN, SORN, SOZNN, CY2), RN, CYN, CYN, CY1), RN, CY1), ORN, CY1), RN, CY1), CY1), CY1), RN, CY1), CY1), CY1), CY1), RN, CY1), CY1),

ANSWER 1 OF 24 CAPILIS COPYRIGHT 2005 ACS on STN (Continued)

622871-40-3 CAPLUS
Phosphonic acid, [[4-[(25,3R)-4-[[(4-aminophenyl)sulfonyl](2-methylpropyl)amino]-3-hydroxy-2-[(3-hydroxy-4-methylbenzoyl]amino]butyl]phenoxy]methyl]-, bis(phenylmethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

622871-41-4 CAPLUS
Benzamide, N-[(15,2R)-3-[[(4-aminophenyl)sulfonyl](2-methylpropyl)amino]-1[[4-[(diphenylphosphinyl)methoxy]phenyl]methyl]-2-hydroxypropyl]-3-hydroxy2-methyl- (9CI) (CA INDEX NAME)

ANSWER 1 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

622871-42-5 CAPLUS
Phosphonic acid, [[4-{[25,3R]-4-[[(4-aminophenyl)sulfonyl][2-methylpropyl]amino]-3-hydroxy-2-[(3-hydroxy-2-methylpropyl)amino]butyl]phenoxy]methylp-, diphenyl ester [9CI] (CA INDEX NAME)

Absolute stereochemistry.

622871-43-6 CAPLUS
Phosphonic acid, [[4-[(25,3R)-4-[[(4-aminophenyl)sulfonyl](2-methylpropyl)amino]-3-hydroxy-2-[(3-hydroxy-2-methylbenzoyl)amino]butyl]phenoxy]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

622871-44-7 CAPLUS RN

ANSWER 2 OF 24 CAPLUS COPYRIGHT 2005 ACS ON STN SSION NUMBER: 2005:1130656 CAPLUS 4ENT NUMBER: 143:399799 ACCESSION NUMBER: DOCUMENT NUMBER:

An assay system for screening protease inhibitors using a polynucleotide encoding a protease precursor and reporter polypeptide with a protease recognition

INVENTOR(S):

and reporter polypeptide with a sequence Cheng, Ting-Jen: Kan, Chen-Chen Keck Graduate Institute, USA PCT Int. Appl., 76 pp. CODEN: PIXXD2 Patent PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION: English

	PAT	ENT	NO.			KIN	D	DATE			APPL	ICAT	ION I	NO.		D.	ATE		
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	WO	2005	0978	18		A2		2005	1020		WO 2	005-	US 8 7	50		2	0050	315	
		W:	AE.	AG.	AL.	AM.	AT.	AU.	AZ.	BA.	BB.	BG.	BR.	BW.	BY.	BZ.	CA.	CH.	
			CN.	co.	CR.	CU.	cz.	DE.	DK.	DM.	DZ.	EC.	EE.	EG.	ES.	FI.	GB.	GD.	
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			NO,	NZ.	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC.	SD,	SE.	5G,	SK,	SL.	SM,	
			SY,	TJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ.	VC.	VN.	YU,	ZA.	ZM.	ZW
		R¥:	BW.	GH,	GM,	KE,	LS,	MW,	MZ,	NA,	SD,	SL,	52.	TZ.	UG.	ZM.	ZV.	AM.	
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			EE,	ES,	FI,	FR,	GB,	GR,	HU,	IE,	IS,	IT.	LT.	LU.	MC.	NL,	PL.	PT.	
			RO,	SE,	SI,	SK,	TR,	BF.	BJ,	CF,	CG,	CI.	CM,	GA.	GN.	GQ.	GW.	ML.	
			MR,	NE,	SN,	TD,	TG												
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WR, NE, SN, TD, TG

US 2005244919 Al 20051103 US 2005-82251 20050315
PRIORITY APPLN. INFO.: US 2004-553263P P 20040315
AB Compans and methods for identifying agents that inhibit protease activity are provided. In particular, polynucleotides, recombinant expression vectors, and host cells are provided that may be used in a bacterial cell-based assay for identifying agents that are inhibitors of protease activity, such as inhibitors of HIV protease activity. The bacterial cells express a precursor of a protease and encode a reporter polymeptide that contains a protease recognition sequence, which can be cleaved by the mature, catalytically active protease such that the reporter polymeptide is decreased or eliminated.

IT 15905-75-19 159006-45-91 159006-42-5P
15906-40-49 175659-59-39 738622-21-4P
738622-23-6P 738622-23-8P 738622-23-8-19 738622-23-8-19 738622-23-8-19 738622-23-9-7 738622-23-9-7 738622-33-9P
738622-39-4P 86821-58-3P
RL: PAC (Pharmacological activity): SPN (Synthetic preparation): THU (Therapeutic use): BIOL (Biological study): PREP (Preparation): USES (USes)

(protease inhibitor screening assay using polynucleotide encoding protease precursor and reporter polypeptide with protease recognition

Absolute stereochemistry.

AHSVER 1 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
Phosphonic acid, [[4-{[25, 38].4-[[(4-aminopheny]]sulfonyl]}(2-methylpropyl)amino]-3-hydrosy-2-[(3-hydrosy-2-[-3-hydrosy-2-]sulfonyl]phenoxy]methylp-yllamino]butyl]phenoxy]methyl]-, bis(phenylmethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 2 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

159005-76-2 CAPLUS
Bardonaude, N-[(15,2R)-2-hydroxy-3-[((4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-[phenylmethylpropyl]-2-methyl- (9GI) (CA INDEX

Absolute stereochemistry.

159006-42-5 CAPLUS
Benzamide, N-[(13, 2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino|-1-(phenylmethyl)propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

159006-43-6 CAPLUS
Benzamide, N-[(15,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-3-methyl- (9CI) (CA INDEX

(Continued)

159006-45-8 CAPLUS
Benzamide, N-[(15,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl][2-methylpropyl]amino]-1-(phenylmethyl)propyl]-2-methoxy- (9CI) (CA INDEX NAME)

159006-46-9 CAPLUS
Benzamide, N-[(15,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-3-methoxy- (9CI) (CA INDEX NAME)

169280-40-4 CAPLUS
Benzamide, 3-hydroxy-N-[(15,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 2 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) 738622-24-7 CAPLUS Benzamide, N-[(15, 2R)-2-hydroxy-3-[((4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-4-(trifluoromethyl)- (9CI)(CA INDEX NAME)

Absolute stereochemistry

738622-25-8 CAPLUS
Benzamide, 2,3-dihydroxyy-N-((1S,2R)-2-hydroxy-3-{((4-methoxyphenyl)sulfonyl)(2-methylpropyl)amino]-1-(phenylmethyl)propyl)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

738622-26-9 CAPLUS
Benzamide, 2-hydroxy-N-[(1s,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl)(2-methylpropyl)amino|-1-(phenylmethyl)propyl]-3-methyl-6-(1-methylethyl)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

738622-28-1 CAPLUS
Benzamide, 3-chloro-N-[(15,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl]{2-methylpropyl}amino]-1-(phenylmethyl)propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

175659-59-3 CAPLUS
Benzamide, 2-hydroxy-N-[(15,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]- (9CI) (CA INDEX NAME)

738622-21-4 CAPLUS
Benzamide, 3-hydroxy-N-[(15,2R)-2-hydroxy-3-[((4-methoxyphenyl)sulfonyl](2-meth)lpropyl)amino]-1-(phenylmethyl)propyl]- (9C1) (CA INDEX NAME)

Absolute stereochemistry.

738622-23-6 CAPLUS
Benzamide, 4-(1.1-dimethylethyl)-N-[{15,2R}-2-hydroxy-3-{{(4-methyrphyl)sulfonyl){2-methylpropyl}amino}-1-(phenylmethyl)propyl}-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 2 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

738622-29-2 CAPLUS
Benzamide, 3,5-dihydroxy-N-[(15,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

738622-32-7 CAPLUS
Benzamide, 4-cyano-N-{(15,2R)-2-hydroxy-3-[[(4-methoxypheny1)sulfony1](2-methylpropy1)amino]-1-(phenylmethyl)propy1}- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

738622-33-8 CAPLUS
Benzamide, N-[(15,2R)-2-hydroxy-3-{{(4-methoxyphenyl)sulfonyl}(2-methylpropyl)amino]-1-(phenylmethyl)propyl)-3,4-dimethyl- (9CI) (CA INDEX NAMF)

738622-34-9 CAPLUS Benzamide, N-{(15,2R)-2-hydroxy-3-{{(4-methoxyphenyl)sulfonyl}{(2-methylpropyl)smino}-1-{phenylmethyl)propyl}-2,5-dimethoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

738622-36-1 CAPLUS
Benzamide, N-{(15.2R)-2-hydroxy-3-{{(4-methoxypheny1)sulfony1}{2-methylpropy1}amino}-1-(phenylmethyl)propy1}-4-nitro-(9CI) (CA INDEX NAME)

738622-37-2 CAPLUS Benzamide, 2-hydroxy-N-[(1s,2R)-2-hydroxy-3-([(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-3-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 2 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

L4 ANSWER 2 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN

738622-38-3 CAPUS
Benzamide. N-[(15,28)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-2,4,6-trimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

738622-39-4 CAPLUS
1,2-Benzenedicarboxamide, N-[(15,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

866821-68-3 CAPLUS
Benzamide, 2-hydroxy-N-[[15,2R]-2-hydroxy-3-{[[4-methoxyphenyl]sulfonyl][2-methylpropyl]amino]-1-[phenylmethyl)propyl]-6-methyl-3-[1-methylethyl]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 3 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER:
DOCUMENT NUMBER:
13:97530

INVENTOR(S):

NVENTOR(S):

Arimilli, Murty N.: Becker, Mark M.: Birkus, Gabriel:
Bryant, Clifford Chen, Janes H.: Chen, Xiaovu;
Cihlar, Tomas: Dastgah, Azar: Elsenberg, Eugene J.:
Fardis, Marias Hatada, Marcos: He, Gong-Xin: Jin,
Haolun: Kim, Choung U.: Lee, William A.: Lee,
Christopher P.: Lin, Kuei-Ying; Liu, Hongtao: MacKman,
Richard L.: McDermott, Martin J.: Mitchell, Michael
L.: Nelson, Peter H.: Pyun, Hyung-Jung Rowe, Tanisha
D.: Sparacino, Mark: Svaminathan, Sundaramoorthi:
Tario, James D.: Wang, Jianying; Williams, Matchew A.:
Xi, Lianhong: Yang, Zheng-Yur Yu, Richard H.: Zhang,
Jiancun: Zhang, Lijun
OCCUMENT TYPE:
LANGUNGE:

DOCUMENT TYPE:
LANGUNGE:
PATENT INFORMATION:

REPT INT. Appl., 1723 pp.
CODEN: PIXXD2
Patent
English
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DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATE	A THE	10.			KIN	D I	DATE			APPL	ICAT	ION	NO.		D	ATE	
WO 2	20050	640	08		A1	_	2005	0714	1	WO 2	004-	US42	991		2	0041	222
	W:										BG,						
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The invention relates to phosphonate-substituted carbamates I and cyclic ureas II [wherein A = Al. AZ, or W3 with the proviso that at least one of A = Al; Al = [Y2(CRZR2]1-12]0-12YZM6; AZ = [Y2(CRZR2]1-12]0-12YZW3; W3 = substituted (heterolcyclyl, R5, C(Y1)R5, C(Y1)W5, SOZR5, or SOZW5; W5 = substituted (heterolcyclyl; W6 = triphosphono-substituted W3; Y1 = O, S, N(RW1, N(O)(RW1, RW1, C(Y1)RW1, C(Y1)W1, C(Y1)W1

PBMC uptake and metabolism, serum stability, and unsure protease inhibitor (ALPPI) activity of selected phosphonate-substituted prodrugs is presented. For instance, a 9-step reaction sequence starting from N-tert-butomycarbonyl-O-benzyl-L-tyrosine provided III (Ki SiO pM for ALPPI activity). The synthesis involved multiple protection and deprotection steps along with coupling reactions using isobutylamine, (ISM, SaM, 64S)-hexahydrofuro(2, 3-b) furan-2-yl 4-nitrophenyl carbonate, and dibenzyl hydromymethylphosphonate.

IT 622871-38-99 622871-39-09 622871-40-39

622871-41-4P 622871-42-5P 622871-43-6P 622871-44-7P

RL: PAC (Pharmacological activity): SPN (Synthetic preparation): THU (Therapeutic use): BIOL (Biological study): PREP (Preparation): USES

ANSWER 3 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

622871-41-4 CAPLUS
Benzamide, N-[(15,2R)-3-[[(4-aminophenyl)sulfonyl](2-methylpropyl)amino]-1[[4-[(diphenylphosphinyl)methoxy]phenyl]methyl]-2-hydroxypropyl]-3-hydroxy2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

622871-42-5 CAPLUS
Phosphonic acid, [[4-[[25,3R]-4-[[[4-aminophenyl]]sulfonyl]](2-methylpcrqyl)amino]-3-hydroxy-2-[(3-hydroxy-2-methylbenzoyl)amino]butyl]phenoxy]methyl]-, diphenyl ester [9CI) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 3 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

ANSWER 3 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
(Uses)
(protease inhibitor; prepn. of phosphonate-substituted HIV protease
inhibitors for treatment of AIDS and other viral infections)
622871-38-9 CAPLUS
Benzamide, N={(15,2R)-3-[{(4-aminophenyl)sulfonyl}{2-eethylpropyl}amino]-1[{4-{(diphenylphosphinyl)bethoxy}phenyl]methyl}-2-hydroxypropyl]-3-hydroxy4-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

622871-39-0 CAPLUS
Phosphonic acid, {{4-[(25,3R)-4-[{(4-aminophenyl)sulfonyl}(2-nethylpropyl)amino]-3-hydroxy-2-{(3-hydroxy-4-nethylbenzoyl)amino]butyl]phenoxy]methyl]- (9CI) (CA INDEX NAME)

622871-40-3 CAPLUS
Phosphonic acid, [[4-[(25,3R)-4-[[(4-aminophenyl)sulfonyl](2-methylpropyl)amino]-3-hydroxy-2-[(3-hydroxy-4-methylbenzoyl]amino]butyl]phenoxy]methyl]-, bis(phenylmethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 3 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

622871-43-6 CAPLUS
Phosphonic acid, {{4-{(25,3R)-4-{((4-aminophenyl)sulfonyl)}{2-methylpropyl)amino}-3-hydroxy-2-{(3-hydroxy-2-methylproxyl)amino|butyl]phenoxylmethyl}- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

622871-44-7 CAPLUS
Phosphonic acid, [[4-{(25,3R)-4-[(4-aminophenyl)sulfonyl](2-methylpropyl)amino]-3-hydroxy-2-[(3-hydroxy-2-methylproxyl)amino]butyl]phenoxy]methylp-sis(phenylmethyl) ester (9CI)(CA INDEX NAME)

Absolute stereochemistry.

THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS REFERENCE COUNT:

L4 ANSWER 3 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

DOCUMENT NUMBER:

INVENTOR(S):

L4 ANSWER 4 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN ACCESSION NUMBER: 2005:300397 CAPLUS

TITLE:

LUS COPYRIGHT 2005 ACS on STN 2005:300397 CAPUS 142:373564
Preparation of sulfone amide derivatives as inhibitors of B-secretase
Oh, Yeong Soo: Choi, Deog-young: Cho, Young Lag: Yoon, Sook Kyung: Seo, Sang Won: Lim, Dongchulr Min, Kyeongsik: Lee, Tae-soo: Lee, Sun Hwa: Chung, Kyung Ha: Kim, Byeong Moon: Bae, Sung Jin: Lee, Jong Sun: Lee, Dae-won: Jeong, Moses
Lg Life Sciences Ltd., S. Korea: Promeditech, Inc.
PCT Int. Appl., 251 pp.
CODEN: PIXXD2
Patent
English
1

PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE

***YO 2005030709 A1 20050407 ***YO 2004-KR2523 20041001

****YO 2005040709 A1 20050407 ***YO 2004-KR2523 20041001

****YO 2005040709 A1 20050407 A1 20050407 A1 20050407

****YO 2005040709 A1 20050407 A1 20050407

****YO 2005040709 A1 20050407 A1 20050407

****YO 2005050709 A1 20050407 A2 20041001

****YO 2005050709 A2 20050407

****YO 2005050709 A2 20050607

****YO

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Title compds. I [A = H, halo, CN, etc.; R1-3 = alkyl, etc.; X = substituted alkyl, oxazolyl, etc.] are prepared For instance, II is

prepared
in 5 steps from (2R,4S,5S)-4-((tert-butyldimethylsilyl)oxy)-5-[(3-{1,1-dixoisothiazolidin-2-yl)benzoyl)amino]-2,7-dimethyloctanoic acid

dioxoisothiazolidin-2-yl]benzoyl]amino]-2,7-dimethyloctanoic acid paration given), 4-{{(tert-butoxycarbonyl]amino]methyl]benzoic acid, benzyl bromide, N-BocAlanine. IC50 against B-secretase for compds. of the invention is in the range of 0.5 - 50 µM. I are useful for the treatment of Alzheimer's disease and related diseases caused by production

beta-amyloid. 849409-24-1P IT

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(uses) (preparation of sulfone amide derivs. as inhibitors of β-secretase) 849409-24-1 CAPUS
Benzamide, N-[(15, 2R)-2-hydroxy-1-(phenylmethyl)-3-[(phenylsulfonyl)amino]propyl]-3-[methyl[(phenylmethyl)sulfonyl]amino]-

ANSWER 4 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN (9CI) (CA INDEX NAME) (Continued)

Absolute stereochemistry.

REFERENCE COUNT:

THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 5 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN ACCESSION NUMBER: CAPLUS

2004:551547 141:184643 DOCUMENT NUMBER:

Model system for high-throughput screening of novel human immunodeficiency virus protease inhibitors in

Escherichia coli Cheng, Ting-Jen: Brik, Ashraf: Wong, Chi-Huey: Kan,

AUTHOR(S): Cheng, Ti Chen-Chen

Luen-Lnen Keck Graduate Institute of Applied Life Sciences, Claremont, CA, 91711, USA Antimicrobial Agents and Chemotherapy (2004), 48(7), 2437-2447 CORPORATE SOURCE:

SOURCE:

CODEN: AMACCQ: ISSN: 0066-4804 American Society for Microbiology PUBLISHER:

DOCUMENT TYPE:

LANGUAGE:

ISHER: American Society for Microbiology
MENT TYPE: Journal
UNACE: English
Novel human immunodeficiency virus (HIV) protease inhibitors are urgently
needed for combating the drug-resistance problem in the fight against
AIDS. To facilitate lead discovery of HIV protease inhibitors, we have
developed a safe, convenient, and cost-effective Escherichia coli-based
assay system. This E. coli-based system involves coexpression of an
engineered β-galactosidase as an HIV protease substrate and the HIV
protease precursor comprising the transframe region and the protease
domain. Autoprocessing of the HIV protease precursor releases the mature
HIV protease. Subsequently, the HIV protease cleaves βgalactosidase, resulting in a loss of the β-galactosidase activity,
which can be detected in high-throughput screens. Using Food and Drug
Administration-approved HIV protease inhibitors, this E. coli-based system
is validated as a surrogate socreening system for identifying inhibitors
that not only possess inhibitory activity against HIV protease but also
have solubility and permeability for in vivo activity.

have solubility and permeability for in vivo activity. The usefulness of E. coli-based system vas demonstrated with the identification of a novel HIV protease inhibitor from a library of compds, that were prepared by an amide-forming reaction with transition-state analog cores. A novel inhibitor with a sulfonamide core of amprenavir, E2, has shown good correlation with the in vitro enzymic assay and in vivo E. Coli-based system. This system can also be used to generate drug resistance profiles that could be used to suggest therapeutic uses of HIV protease inhibitors to treat the drug-resistant HIV strains. This simple yet efficient E. coli system not only represents a screening platform for high-throughput identification of leads targeting the HIV proteases but also can be adapted to all other classes of proteases.
159005-75-1 159005-76-2 159006-42-5
159006-43-6 159006-45-8 159006-45-9
159006-43-6 159006-45-8 159006-45-9
159002-36-7 308622-26-7 338622-21-4
338622-26-7 308622-26-7 338622-23-9
338622-34-9 738622-39-4
RI: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (model system for high-throughput screening of HIV protease inhibitors in Eacherichia coli) 159005-75-1 CAPUS Benzamide. N-(115, ZR)-2-hydroxy-3-[(4-methoxyphenyl)sulfonyl) (CA INDEX NAME)

ΙŤ

159005-76-2 CAPLUS
Benzamide, N-[(1S,2R)-2-hydroxy-3-{{(4-methoxyphenyl)sulfonyl}(2-methylpropyl)amino}-1-(phenylmethyl)propyl}-2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

159006-42-5 CAPIUS Bentamide, N-[(15,2R)-2-hydroxy-3-{{(4-methoxyphenyl)sulfonyl}(2-methylpropyl)amino|-1-(phenylmethyl)propyl|- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

159006-43-6 CAPLUS
Benzamide, N-[(15,2R)-2-hydroxy-3-[((4-methoxyphenyl)sulfonyl){2-methylpropyl}amino]-1-(phenylmethyl)propyl]-3-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 5 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

Absolute stereochemistry.

738622-21-4 CAPLUS
Benzamide, 3-hydroxy-N-[(15,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethylpropyl)- (SCI) (CA INDEX NAME)

Absolute stereochemistry.

738622-23-6 CAPLUS
Benzamide, 4-(1,1-dimethylethyl)-N-[(15,2R)-2-hydroxy-3-{[(4-methoxphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 5 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

159006-45-8 CAPLUS
Benzamide, N-[(15,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-2-methoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

159006-46-9 CAPLUS
Benzamide, N-[(15,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-3-methoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

169280-40-4 CAPLUS
Benzamide, 3-hydroxy-N-[(15,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethylpropyl)-2-methyl- (9C1) (CA INDEX

Absolute stereochemistry.

ANSVER 5 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) 738622-24-7 CAPLUS Benzamide, N-[115,2R]-2-hydroxy-3-[{[4-methoxyphenyl]sulfonyl]{2-methylpropyl]amino]-1-(phenylmethyl)propyl]-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

738622-25-8 CAPLUS
Benzamide, 2,3-dihydroxy-N-[(1S,2R)-2-hydroxy-3-[{(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

738622-26-9 CAPLUS Benzamide, 2-hydroxy-N-[{1s,2R}-2-hydroxy-3-[[{4-methoxyphenyl}sulfonyl}(2-methylropyl)amino|-1-(phenylmethyl)propyl]-3-methyl-6-(1-methylethyl)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

738622-28-1 CAPLUS
Benzamide, 3-6-loro-N-[(15,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)aminoj-11-(phenylmethyl)propyl]- (9CI) (CA INDEX NAME)

(Continued)

RN 738622-29-2 CAPLUS

Senzanide, 3.5-d.hydroxy-N-{(15,2R)-2-hydroxy-3-{((4-aethyxphenyl) sulfonyl) (2-aethylpropyl) anino]-1-(phenylaethyl) propyl]-(9C1) (CA INDEX NAME)

Absolute stereochemistry.

RN 738622-30-5 CAPLUS

Renzamide, 2-hydroxy-N-[(15,2R)-2-hydroxy-3-[[(4-methoxyphenyl) sulfonyl](2-methylpropyl) amino}-1-(phenylmethyl)propyl]-6-methyl-3-propyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry

RN 738622-32-7 CAPLUS
CN Benzamide, 4-cyano-N-[(15,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

4 ANSWER 5 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 738622-37-2 CAPLUS
CN Benzamide, 2-hydroxy-N-[(15,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)smino]-1-(phenylmethyl)propyl}-3-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 738622-38-3 CAPLUS
CN Benzamide, N-[(15,2R)-2-hydroxy-3-[[(4-methoxyphenyl) sulfonyl](2-methylpropyl) amino]-1-(phenylmethyl)propyl]-2,4,6-trimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 738622-39-4 CAPLUS CN 1,2-Benzenedicarboxamide, N-[(15,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-(9C1) (CA INDEX NAME)

Absolute stereochemistry.

i-bu OH

738622-33-8 CAPLUS
CM Benzamide, N-[(15,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-3,4-dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 738622-34-9 CAPLUS

Benzanide, N-[(15,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl][(2-methylpropyl)amino]-1-(phenylmethyl)propyl]-2,5-dimethoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 738622-36-1 CAPLUS

Benzamide, N-{(15,2R)-2-hydroxy-3-{[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl}-4-nitro-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 5 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

REFERENCE COUNT: 34 THERE ARE 34 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSIER 6 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN ACCESSION NUMBER: 2004:142955 CAPLUS DOCUMENT NUMBER: 140:193033

DOCUMENT NUMBER: TITLE:

Broad-spectrum 2-aminobenzothiazole sulfonamide HIV

INVENTOR(S):

protease inhibitors
Surleraux, Dominique Louis Nestor Ghislain; Wigerinck,
Piet Tom Bert Paul; Getman, Daniel P.
Tibotec Pharmaceuticals Ltd., Ire.

PATENT ASSIGNEE(S):

PCT Int. Appl., 44 pp. CODEN: PIXXD2

DOCUMENT TYPE: Patent English

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO. XIND DATE APPLICATION NO. DATE WO 2004/014371 A1 2004/0219 WO 2003-EP50359 20003/804 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DV, DM, DZ, EC, EE, ES, F1, GB, GO, GE, GH, GH, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KN, KZ, LC, LK, LR, LS, LT, LU, LY, MA, HD, MG, MK, KM, HW, MX, KZ, HI, NO, NZ, OH, CAN, CAN, CAN, CAN, CAN, CAN, CAN, CAN
WO 2004-014371 A1 2004-0219 WO 2003-EP50359 2003-0804 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GH, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MH, MY, MX, HZ, MI, N, NZ, NZ, CH, NR, NZ, NZ, NI, NO, NZ, CM, NZ, NZ, NZ, NZ, NZ, NZ, NZ, NZ, NZ, NZ
W: AE, AG, AL, AM, AT, AU, A2, BA, BB, BG, BR, BY, B2, CA, CH, CN, CO, CR, CU, C2, DE, DK, DM, D2, EC, EE, ES, F1, GB, GD, GE, GH, GH, HR, HU, 1D, IL, IN, IS, DY, KE, KG, KP, KR, KZ, LC, LK, LK, LK, LK, LK, LK, LK, LK, LK, LK
CO, CR, CU, CZ, DE, DX, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GH, HR, HJ, LID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LY, NA, HD, MG, MK, MH, MY, MX, MZ, MI, ND, NZ, OM.
GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, K2, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MI, NI, NO, NZ, OM,
LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM,
PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,
FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,
BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
CA 2492832 AA 20040219 CA 2003-2492832 20030804
BR 2003005717 A 20040928 BR 2003-5717 20030804
EP 1545518 A1 20050629 EP 2003-784205 20030804
R: AT, BE, CH, DE, DX, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI. LT, LV, FI. RO, MK. CY, AL, TR, BG, CZ, EE, HU, SK
US 2005267156 A1 20051201 US 2005-523445 20050131
NO 2005001089 A 20050502 NO 2005-1089 20050301
PRIORITY APPLN. INFO.: EP 2002-78231 A 20020802
US 2002-427862P P 20021120
WO 2003-EP50359 W 20030804
OTHER SOURCE(S): MARPAT 140:193033
GI

The invention discloses the use of 2-amino-benzothiazole sulfonamides I $\{R1 = \{un\} \text{substituted Ph}, \text{ hexahydrofuro}\{2,3\text{-b}] \text{ furanyl}, \text{ tetrahydrofuranyl}, \text{ oxazolyl}, \text{ thiazolyl}, pytidinyl, <math>R2 = H, C1\text{-6 alkyl}, L = \text{bond}, 0, C1\text{-6 alkanediyl} = 0.0\text{-0-C1-6 alkanediyl}, R3 = Ph C1\text{-4 alkyl}, R4 = C1\text{-6 alkyl}, R5, K6 = H, C1\text{-6 alkyl}, \text{ in the manufacture of a medicament useful for inhibiting mutant HIV protease in a mammal infected with the mutant HIV protease. The invention also discloses novel compds. I. 660410-78-6P$

ANSWER 6 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

_656236-09-8 CAPLUS
Benzamide, 3-amino-N-{(15,2R)-3-{{(2-amino-6-benzothiazoly1)sulfony1}(2-methylpropy1)amino}-2-hydroxy-1-(phenylmethyl)propy1}-2-methyl- (9CI) (NDEX NAME)

Absolute stereochemistry.

656236-11-2 CAPLUS
Benzamide, N-[(15,2R)-3-[[(2-amino-6-benzothiazolyl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl- (9CI) (CAINDEX NAME)

Absolute stereochemistry.

660410-15-1 CAPLUS

Benzamide, 3-amino-N-[3-[[{2-amino-6-benzothiazoly1}sulfony1]{2-methylpropy1}amino]-2-hydroxy-1-(phenylmethyl)propy1]-2-methyl-(9CI) (CA

INDEX NAME)

660410-16-2 CAPLUS
Benzamide, N-(3-[([2-amino-6-benzothiazolyl)sulfonyl)[2-methylpropyl)amino|-2-hydroxy-1-(phenylmethyl)propyl]-3-hydroxy-2-methyl-(9CI) (CA INDEX NAME)

ANSTER 6 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
RL: PAC (Pharnacological activity): PKT (Pharnacokinetics): SPN (Synthetic preparation): TEN (Therapeutic use): BIOL (Biological study): PREP (Preparation): USES (Uses)
(2-aminobenzothiazole sulfonamide HIV protease inhibitors)
660410-78-6 CAPLUS
Benzamide, 4-amino-3-hydroxy-N-[{15,2R}-2-hydroxy-3-[{2-(methylamino)-6-benzothiazoly]sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

ΙT 660410-74-2P RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(2-aminobenzothiazole sulfonamide HIV protease inhibitors)

(2-aminopenzoth azole surronamide HIV protease inhibitors)
66010-74-2 CAPLUS
Benzamide, 4-bromo-N-[(15,2R)-2-hydroxy-3-[{[2-(methylamino)-6-benzothiazoly]}sulfonyl](2-methyl-propyl)amino]-1-(phenylmethyl)propyl]-2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

656236-07-6 656236-09-8 656236-11-2 660410-15-1 660410-16-2 660410-18-4 660410-20-8 660410-23-1 660410-25-3 660410-29-7 660410-31-1 660410-53-7 660410-61-7 660410-66-2 IΤ

660410-61-7 660410-66-2
RL: PAC (Pharmacological activity); THU (Therapeutic use): BIOL (Biological study); USES (Uses)
[2-aminobenzothiazole sulfonamide HIV protease inhibitors)
65623-60-7-6 CAPLUS
Benzamide, N-([15, 2R)-3-[[(2-amino-6-benzothiazoly1) sulfony1][2-methylpropy1] amino]-2-hydroxy-1-(phenylmethylpropy1]-3-hydroxy-2-methyl-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 6 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

660410-18-4 CAPLUS
Benzamide, N-[3-{[(2-amino-6-benzothiazoly1) sulfony1}{2-methylpropy1} amino]-2-hydroxy-1-(phenylmethyl)propy1}-2-methyl- (9CI) (CA INDEX NAME)

660410-20-8 CAPLUS
Benzamide, N-[3-[[(2-amino-6-benzothiszoly1)sulfony1](2-methylpropy1)saino)-2-hydroxy-1-(phenylmethyl)propy1]-3-fluoro-2-methyl-(9CI) (CA INDEX NAME)

$$F = \begin{matrix} OH & i \text{-Bu o} \\ C - NH - CH - CH - CH_2 - N - S \\ O & Ph - CH_2 \end{matrix} \quad \begin{matrix} S \\ NH_2 \\ O \end{matrix} \quad \begin{matrix} NH_2 \\ N \end{matrix}$$

660410-23-1 CAPLUS
Benzamide, J-amino-N-[2-hydroxy-3-[{{2-(methylamino)-6-benzothiazolyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl}-2-methyl- (9CI) (CA INOEX NAME)

660410-25-3 CAPLUS
Benzamide, 3-hydroxy-N-[2-hydroxy-3-[[[2-(methylamino)-6-benzothiazolyl]sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-2-methyl- (9CI) (CA INDEX NAME)

660410-29-7 CAPLUS
Benzanide, 4-bromo-N-[2-hydroxy-3-[{[2-(methylamino)-6-benzothiazoly]]sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl)-2-methyl-(9CI) (CA INDEX NAME)

660410-31-1 CAPLUS

Benzamide, 4-amino-3-hydroxy-N-[2-hydroxy-3-[[{2-(methylamino)-6-benzothiazolyl]sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-2-methyl- (9CI) (CA INDEX NAME)

660410-53-7 CAPLUS
Benzamide, N-{(15,2R)-3-{{(2-amino-6-benzothiazoly1) sulfony1}{2-methylpropy1) amino}-2-hydroxy-1-(phenylmethyl)propy1}-3-fluoro-2-methyl-(9C1) (CA INDEX NAME)

Absolute stereochemistry

660410-61-7 CAPLUS
Benzamide, 3-amino-N-[(15,2R)-2-hydroxy-3-[([2-(methylamino)-6-benzothiazolyl]sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-2-methyl-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

СM 1

CRN 660410-60-6 CMF C30 H37 N5 O4 S2

Absolute stereochemistry.

ANSWER 6 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 6 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN

CH. 2

CRN 76-05-1 CMF C2 H F3 O2

660410-66-2 CAPLUS
Benzanide, 3-hydroxy-N-[(15,2R)-2-hydroxy-3-[[[2-(methylamino)-6-benzothiazoly]]sulfony]](2-methylpropy)]amino]-1-(phenylmethyl)propy)]-2-methyl-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

(Continued)

CH 1

CRN 660410-65-1 CMF C30 H36 N4 O5 S2

Absolute stereochemistry.

СН 2

CRN 76-05-1 CMF C2 H F3 O2

REFERENCE COUNT: THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS

L4 ANSWER 7 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN ACCESSION NUMBER: 2003:875072 CAPLUS DOCUMENT NUMBER: 139:381610

TITLE:

INVENTOR(S):

PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE:

LANGUAGE:

auo.:o.aur.z CAPAUS
139:381610
Preparation of phosphonate analogs of HIV protease
inhibitors and methods for identifying anti-HIV
therapeutic compounds
Birkus, Gabriel: Chen, James M.: Chen, Xiaowur Cihlar,
Tomasz Eisenberg, Eugene J.: Hatada, Marcos: He,
Gong-Xin: Xim, Choung U.: Lee, William A.: McDermott,
Martin J.: Swaminathan, Sundaramoorthi
Gilead Sciences, Inc., USA
PCT Int. Appl., 814 pp.
CODEN: PIXXD2
Patent
English
8 FAMILY ACC. NUM. COUNT: PATENT INFORMATION: PATENT NO. WO 2003090691

V: AE, AG, AL,
CO, CR, CU,
GM, HR, HU,
LS, LT, LU,
PH, PL, PT,
TZ, UA, UG,
RY: GH, GM, KE,
KG, KZ, MD,
FI, FR, GB,
BF, BJ, CF,
CA 2481449

PP 1575496
R: AT, BE, CH,
VO 2004096518
WO 2004096518
WI AE, AG, AL, VO 2003-EP12423 20031106

A, BB, BG, BR, BY, BZ, CA, CH, CN, EC, EE, ES, FI, GB, GD, GE, GH, KE, ES, FI, GB, GD, GE, GH, KE, ES, FI, GB, GD, GE, GH, KE, KG, KP, KR, KZ, LC, LK, LR, KM, MY, MZ, NI, NO, NZ, OH, S, GG, SK, SL, TJ, TM, TN, TR, TT, J, ZA, ZM, ZW

J, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, GE, LC, CZ, DE, DK, EE, LU, MC, NL, PT, RO, SE, SI, SK, GN, GO, GW, ML, MR, NE, SN, TD, US 2003-790389 20041022

US 2002-375602P P 20020426

US 2002-375602P P 20020426

US 2002-3755934P P 20020426

US 2003-421306 A2 20030425

US 2003-421306 A2 20030425

US 2003-465810P P 20030425 AE, CO, GM, LS, PH, TZ, BW, BY, ES, PRIORITY APPLN. INFO.:

L4 ANSWER 7 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) VO 2003-US12943 W 200 US 2003-S1352P P 200 US 2003-S1352P P 200 US 2003-S13542P P 200 US 2003-S14241P P 200 US 2003-S14291P P 200 US 2003-S14299P P 200 US 2003-S14299P P 200 US 2003-S1499P P 200 US 2003-S149P P 200 US 2003-S14P P 20 20030425 20031024 20031024 20031024 20031029 20031029 WO 2004-US35083

GI

The invention relates to phosphonate-substituted carbamates I and cyclic ureas II [vherein A = Al, A2, or V3 with the proviso that at least one of A = Al: Al = [Y2(CR2R2)1-12]0-12Y2V6; A2 = [Y2(CR2R2)1-12]0-12Y2V6; M3 = substituted (hetero)cyclyl, K5, C(Y1)K5, C(Y1)V5, SO2K5, or SO2V5; W5 = substituted (hetero)cyclyl, K5, C(Y1)K5, C(Y1)V5, SO2K5, or SO2V5; W5 = substituted (hetero)cyclyl, K6, C(Y1)V5, SO2K5, or SO2V5; W5 = substituted (hetero)cyclyl, K6, C(Y1)V5, SO2K5, or SO2V5; W5 = substituted (hetero)cyclyl, K6, C(Y1)V5, SO2K5, OR (RN), N(O)(RN), N(RN), RN), N(RN), RN(RN), RN

regarding
PBMC uptake and metabolism, serum stability, and alkaline phosphatase

- ANSWER 7 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) 622871-40-3 CAPLUS Phosphonic acid, [[4-([25,3R)-4-[[(4-aminophenyl)sulfonyl](2-aminophenyl)propyl)sulminoj-3-hydroxy-2-[(3-hydroxy-4-aminophenyl)aminophenyl)sulfonylysi sulfonyl)sulfonyl)sulfonyl)sulfonyl)sulfonyl)sulfonyl)sulfony
 - (CA INDEX NAME)

Absolute stereochemistry.

622871-41-4 CAPLUS
Benzamide, N-[(15,2R)-3-[[(4-aminophenyl)sulfonyl](2-methylpropyl)amino]-1[(4-[(diphenylphosphinyl)methoxy/]henyl]methyl]-2-hydroxypropyl]-3-hydroxy2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

622871-42-5 CAPLUS
Phosphonic acid, [[4-[(25,3R)-4-[[(4-aminophenyl)sulfonyl](2-aethylpropyl)amino]-3-hydroxy-2-[(3-hydroxy-2-aethylbenzoyl)amino]butyl]phenoxy]methyl]-, diphenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 7 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) inhibitor (ALPPI) activity of selected phosphonate-substituted prodrugs is presented. For instance, a 9-step reaction sequence starting from N-tert-butoxycarbonyl-0-benzyl-t-tyrosine provided III (Ki 510 pM for ALPPI activity). The synthesis involved multiple protection and deprotection steps along with coupling reactions using isobutylamine, (JR, JaR, 6a5)-hexahydrofuro(2,3-b)furan-2-y1 4-nitrophenyl carbonate, and dibenzyl hydroxymethylphosphonate.
622811-14-149 622811-142-59 622871-43-69
622811-44-79
RL: PAC (Pharmacological activity): SPN (Synthetic preparation): THU

RE: PAC (Pharmacological activity): SPN (Synthetic preparation): THU (Therapeutic use): BIOL (Biological study): PREP (Preparation): USES

(Uses)
(protease inhibitor: preparation of phosphonate-substituted HIV protease inhibitors for treatment of AIOS and other viral infections)
622871-38-9 CAPUS
Benzamide, N-[(15,2R)-3-[[(4-aminophenyl)sulfonyl](2-methylpropyl)amino]-1[4-[(diphonylphosphinyl)methoxy]phenyl]methyl]-2-hydroxypropyl]-3-hydroxy-4-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

622071-39-0 CAPLUS
Phosphonic acid, {{4-{(25,3R)-4-{((4-aminophenyl)sulfonyl)}{2-methylpropyl}amino]-3-hydroxy-2-{(3-hydroxy-4-methylbenzoyl)amino]butyl]phenoxy]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 7 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

622871-43-6 CAPLUS
Phosphonic acid, [[4-[(25,3R)-4-[[(4-aminophenyl)sulfonyl](2-methylpropyl)amino]-3-hydroxy-2-[(3-hydroxy-2-methylpropyl)amino]butyl]phenoxy|methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

622871-44-7 CAPLUS
Phosphonic acid, [[4-[(25,3R)-4-[[(4-aminophenyl)sulfonyl)(2-methylpropyl)amino]-3-hydroxy-2-[(3-hydroxy-2-methylbenzoyl)amino]butyl]phenoxy]sethyl]-, bis(phenylmethyl) ester (9CI) (CA INDEX NAME)

DOCUMENT NUMBER: TITLE:

INVENTOR(S):

L4 ANSWER 8 OF 24 CAPLUS COPYRIGHT 2005 ACS ON STN ACCESSION NUMBER: 2003:875071 CAPLUS DOCUMENT NUMBER: 139:381609

Preparation of phosphonate analogs of HIV protease inhibitors with improved cellular accumulation

inhibitors with improved cellular accumulation properties
Arimilli, Murty N.: Becker, Mark M.: Bryant, Clifford; Chen, James H.: Chen, Xiaoovu: Dastgah, Azar: Fardis, Marias He, Gong-Xin Jin, Haolun: Kin, Choung U.: Lee, William A.: Lee, Christopher P.: Lin, Kuei-Ying: Liu, Hongtao: Mackman, Richard L.: Mitchell, Michael L.: Nelson, Peter H.: Pyun, Hyung-Jung: Rove, Tanisha D.: Sparacino, Mark: Swaminathan, Sundaramorchi: Tario, James D.: Vang, Jianying: Williams, Matthew A.: Xu, Lianhong: Yang, Zheng-Yu: Yu, Richard H.: Zhang, Jiancun: Zhang, Lijun Gilead Sciences, Inc., USA
PCT Int. Appl.. 1727 pp.
CODEN: PIXXX2
Patent

PATENT ASSIGNEE(S): SOURCE:

English

DOCUMENT TYPE: Patent

LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

	PAT	ENT I	NO.			KIN	D	DATE			APPL	ICAT	ION I	NO.		D.	ATE		
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	50	2003	0906	90		A2		2003	1106		0 2	003-	US12	901		21	0030	125	
		2003	0906	90		A3		2004	0624										
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			co.	CR.	cu.	CZ.	DE.	DK.	DM.	DZ.	EC.	EE.	ES.	FI.	GB,	GD,	GE,	GH,	
			GM.	HR.	HU.	ID.	IL.	IN.	IS.	JP.	KE.	KG.	KP.	KR.	KZ.	LC,	LK.	LR,	
			LS.	LT.	LU.	LV.	MA.	MD.	MG.	MK.	MN.	MV.	MX.	MZ.	NI.	NO.	NZ.	OM.	
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		2003																	
		1509																	
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		٧:						ΑU,											
								DK,											
			GM,	HR,	ΗU,	ID,	ΙL,	IN,	IS,	JP,	KE,	KG,	KP,	KR.	ΚŻ,	LC.	LK,	LR,	
								HD,											
			PH,	PL,	PT,	RO,	RU,	sc,	SD,	SE,	SG,	SK,	SL.	TJ.	TM,	TN,	TR,	TT,	
			TZ.	UA.	UG.	US,	UZ.	VC.	VN,	YU.	ZA,	ZM,	2¥						
		RW:	BW.	GH.	GM,	KE.	LS.	MW.	HZ.	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	AZ,	
			BY.	KG.	KZ.	MD.	RU.	TJ.	TH.	AT.	BE.	BG.	CH.	CY.	CZ.	DE.	DK.	EE,	
								HU,											
			TR.	BF.	B.T.	CF.	CG.	CT.	CM.	GA.	GN.	GO.	GW.	MT	MR.	NE.	SN.	TD.	TG
	115	2005	2390	54		Al	,	2005	1027		IIS 2	003-	7406	94		2	0031	222	
	NO	2004	0051	50		Α.		2005	0126		NO 2	004-	5150	•		- 5	0041	125	
PRIO	 	2005 2004 APP	LN	INFO							115 2	002-	3756	22P		P 2	0020	126	
		- arr	<i>D</i>	• • • • •	• •						ue 2	002-	3756	65 D			0020	126	
											US 2	002-	2757	700			0020	126	
											us 2	002-	2,21	rzr			0020	420	

ANSWER 8 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN (US 2002-375834P US 2003-421456 US 2003-421450 US 2003-421450 US 2003-421450 US 2003-465721P US 2003-465810P US 2003-465810P US 2003-465810P US 2003-465810P US 2003-4512901 US 2003-US129243 WS 2003-US129243 US 2003-US12943 US 2003-US12943 US 2003-US12943 US 2003-US12943 (Continued) P 20020426
A2 20030425
A2 20030425
A2 20030425
P 20030425
P 20030425
P 20030425 20030425 20030425

OTHER SOURCE(S): MARPAT 139:381609

The invention relates to phosphonate-substituted carbamates I and cyclic ureas II (wherein A = AI, A2, or W3 with the proviso that at least one of A = AI; A1 = [Y2(CRAZPA]-12]0-1272W6; A2 = [Y2(CRAZPA]-12]0-1272W3; W3 = substituted (hetero)cyclyl, R5, C(YI)M5, SCZR5, or SOZW5; W5 = substituted (hetero)cyclyl; W6 = triphosphono-substituted W3; Y1 = O, S. N(RM), N(O)(RM), N(ORM), N(O)(RM), N(ORM), N(O)(RM), N(ORM), N(ORM

Answer 8 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) phosphonate-substituted prodrugs is presented. For instance, a 9-step reaction sequence starting from N-tert-butoxycarbonyl-O-benzyl-L-tyrosine provided III (Ki sl0 pM for ALPPI activity). The synthesis involved multiple protection and deprotection steps along with coupling reactions using isobutylamine, (3R, 3aR, 6aS)-hexahydrofuro[2, 3-b]furan-2-yl 4-nitrophenyl carbonate, and dibenzyl hydroxymethylphosphonate. 622871-48-99 622871-49-3P 622871-42-5P 622871-43-6P 622871-44-7P RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(Uses)
(protease inhibitor; preparation of phosphonate-substituted HIV protease inhibitors for treatment of AIDS and other viral infections)
622871-38-9 CAPLUS
Benzamade, N-([15,2R)-3-[[(4-aminophenyl)sulfonyl](2-methylpropyl)amino]-1-[[4-[(diphenylphosphinyl)methoxy]phenyl]methyl]-2-hydroxypropyl]-3-hydroxy-4-methyl- (9CI) (CA INDEX NAME)

622871-39-0 CAPLUS
Phosphonic acid, [[4-[(25,3R)-4-{[(4-aminophenyl)sulfonyl](2-methylpropyl)amino]-3-hydroxy-2-[(3-hydroxy-4-methylbenzoyl)amino]butyl]phenoxy]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

622871-40-3 CAPLUS

ANSWER 8 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
Phosphonic acid. [[4-{[25.3R]-4-[[(4-axinopheny)]sulfony]](2-acthylpcopy)) axino|-3-hydroxy-2-[[(3-hydroxy-4-acthylbenzoy)]axino|butyl]phenoxy]methyl)-, bis[phemylmethyl] ester (9CI)
(CA INDEX RAME)

Absolute stereochemistry.

622871-41-4 CAPLUS
Benzamide, N-[(15,2R)-3-[[(4-aminophenyl)sulfonyl](2-methylpropyl)amino]-1[[4-[(diphenylphosphinyl)methoxy]phenyl]methyl]-2-hydroxypropyl}-3-hydroxy2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

622871-42-5 CAPLUS
Phosphonic acid, [[4-[(25,3R)-4-[[(4-aminophenyl)sulfonyl](2-methylpropyl)amino]-3-hydroxy-2-[(3-hydroxy-2-methylbenzoyl)amino]butyl]phenoxy]methyl]-, diphenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 8 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) ANSWER 8 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

622871-43-6 CAPLUS
Phosphonic acid, [[4-[[25,3R]-4-[[(4-aminophenyl)sulfonyl](2-methylpropyl)saino]-3-hydroxy-2-[(3-hydroxy-2-methylbenzoyl)saino]butyl]phenoxy]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

622871-44-7 CAPLUS
Phosphonic acid, [[4-[[2S,3R]-4-[[(4-aminophenyl)sulfonyl]](2-methylpropyl)aminoj-3-hydroxy-2-[(3-hydroxy-2-methylbenzoyl)aminojbutyl]phenoxylpethyl)-, bis[phenylmethyl] ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 9 OF 24
ACCESSION NUMBER:
DOCUMENT NUMBER:
140:156713
110:156713
Discovery of novel benzothiazolesulfonamides as potent inhibitors of HIV-1 protease
Nagarajan, Scinivasan R.: De Crescenzo, Gary A.:
Getman, Daniel P.: Lu, Hwang-Fun: Sikorski, James A.:
Walker, Jeffrey L: McDonald, Joseph J: Houseman,
Kathryn A.: Kocan, Geralyn P.: Kishore, Nandini
Mehta, Pramod P.: Punkes-Shippy, Christie L.:
Blystone, Lisa
Pfizer Global Research and Development, Pfizer Inc.,
Chesterfield, MO, 63017, USA
Bioorganic & Medicinal Chemistry (2003), 11(22),
4769-4777
COOEN: BMCCEP; ISSN: 0968-0896

vios-4///
CODEN: BMECEP: ISSN: 0968-0896 Elsevier Ltd.

PUBLI SHER:

DOCUMENT TYPE: LANGUAGE: AB The human

AAGE: Southar JAGE: English The human immunodeficiency virus (HIV) has been shown to be the causative agent for AIDS. The HIV virus encodes for a unique aspactyl protease that is essential for the production of enzymes and proteins in the final stages

is essential for the production of enzymes and proteins in the final stages maturation. Protease inhibitors have been useful in combating the disease. The inhibitors incorporate a variety of isosteres including the hydroxyethylurea at the protease cleavage site. We have shown that the replacement of t-butylurea moiety by benzothiazolesulfonamide provided inhibitors with improved potency and antiviral activities. Some of the compds, have shown good oral bioavailability and half-life in rats. The synthesis of benzothiazole deirys. led us to explore other heterocycles. During the course of our studies, we also developed an efficient synthesis of benzothiazole-deirys. Led us two-step procedure starting from sulfanilamide.

6562236-07-69 656236-09-89 656236-11-29 656236-21-49 656236-21-69 656236-32-70 656236-32-99 RL: PAC [Pharmacological activity]: PRT [Pharmacokinetics]: SPN [Synthetic preparation]: USES [Uses] (preparation): USES [Uses] (preparation and antiviral structure-activity relationship novel benzothiazolesulfonamides as potent inhibitors of HIV-1 protease) 656236-07-6 CAPLUS Benzamide, N-[[15,2R)-3-[[(2-amino-6-benzothiazoly]]sulfonyl](2-methylpropyl) amino]-2-hydroxy-1-(phenylmethyl)propyl]-3-hydroxy-2-methyl-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

$$\begin{array}{c|c} \text{Me} & \text{O} & \text{Ph} \\ \text{II} & \text{S} & \text{N} & \text{S} \\ \text{II} & \text{OH} & 1\text{-Bu} & \text{N} \\ \end{array}$$

656236-09-8 CAPLUS
Benzamide, 3-amino-N-[{15,2R}-3-[[(2-amino-6-benzothiazolyl)sulfonyl]{2-methylpropyl}amino]-2-hydroxy-1-[phenylmethyl)propyl]-2-methyl- (9CI) (

L4 ANSWER 9 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN Absolute stereochemistry. (Continued)

656236-11-2 CAPLUS
Benzamide, N-[(15,2R)-3-[[(2-amino-6-benzothiazolyl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl- (9CI) (CA
INDEX NAME)

Absolute stereochemistry.

656236-21-4 CAPLUS
Benzamide, N-[(15,2R)-3-[(6-benzothiazolylsulfonyl)(2-methylpropyl)amino]-2-bydroxy-1-(phenylmethyl)propyl}-2-methyl- (9CI) (CA INDEX NAME)

656236-32-7 CAPLUS
Benzamide, N-[(15,2R)-3-[(6-benzothiazolylaulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl)-3-hydroxy-2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

 $\begin{array}{lll} 656236-34-9 & CAPLUS \\ Benzamide, & 3-amino-N-[\{1S,2R\}-3-[\{6-benzothiazoly]sulfonyl\}\} \end{array}$

ACCESSION NUMBER:

DOCUMENT NUMBER:

ANSWER 10 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN

ESSION NUMBER: 2003:737734 CAPLUS

UNENT NUMBER: 139:261299

ENTOR(S): 19:261299

ENTOR(S): Surleraux, Dominique Louis Nestoc Chislain; Wigerinck, Plet Tom Bert Paul; Voets, Marieke Christiane Johanna; Vendeville, Sandrine Marie Helene: De Kock, Herman Augustinus; Vergouven, Bernhard Joanna Bernard Tibotec Pharmaceuticals Ltd., Ire.

ENT ASSIGNEE(S): PCT Int. Appl., 75 pp.

UNENT TYPE: UNENT TYPE: Patent INVENTOR(S):

PATENT ASSIGNEE(S):

DOCUMENT TYPE:

English

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO.		APPLICATION NO.	
		WO 2003-EP50057	
W: AE, AG, AL,	AM, AT, AU, AZ,	BA, BB, BG, BR, BY,	BZ, CA, CH, CN,
CO, CR, CU,	CZ, DE, DK, DM,	DZ, EC, EE, ES, FI,	GB, GD, GE, GH,
GM, HR, HU,	ID, IL, IN, IS,	JP, KE, KG, KP, KR,	KZ, LC, LK, LR,
LS, LT, LU,	LV, MA, MD, MG,	MK, MN, MW, MX, M2,	NO, NZ, OM, PH,
PL, PT, RO,	RU, SC, SD, SE,	SG, SK, SL, TJ, TM,	TN, TR, TT, TZ,
UA, UG, US,	UZ, VC, VN, YU,	ZA, ZM, ZW	
RW: GH, GM, KE,	LS, MW, MZ, SD,	SL, SZ, TZ, UG, ZM,	ZW, AM, AZ, BY,
KG, KZ, MD,	RU, TJ, TM, AT,	BE, BG, CH, CY, CZ,	DE, DK, EE, ES,
FI, FR, GB,	GR, HU, IE, IT,	LU, MC, NL, PT, RO,	SE, SI, SK, TR,
BF, BJ, CF,	CG, CI, CM, GA,	GN, GQ, GW, ML, MR,	NE, SN, TD, TG
CA 2479012	AA 20030918	CA 2003-2479012	20030312
BR 2003003373	A 20040323	BR 2003-3373	20030312
EP 1485358	A1 20041215	EP 2003-714954	20030312
R: AT, BE, CH,	DE, DK, ES, FR,	GB, GR, IT, LI, LU,	NL, SE, MC, PT,
IE, SI, LT,	LV, FI, RO, MK,	CY, AL, TR, BG, CZ,	EE, HU, SK
JP 2005519952	T2 20050707	JP 2003-574633	20030312
US 2005171175	A1 20050804	US 2003-508561	20030312
PRIORITY APPLN. INFO.:		EP 2002-75999	A 20020312
		WO 2003-EP50057	W 20030312
OTHER SOURCE(S):	MARPAT 139:2612	99	

ANSWER 9 OF 24 CAPLUS COPYRIGHT 2005 ACS on STM (Continued) methylpropyl) and 1-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl- (9CI) (CA INDEX (NAME)

REFERENCE COUNT:

23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

LA ANSWER 10 OF 24 CAPLUS COPYRIGHT 2005 ACS OR STN (Continued)

Title compds. I [R] = H, alkyl, alkenyl, aralkyl, aralkenyl, cycloalkyl, cycloalkyl, aryl, heterocyclic, heterocyclylalkyl, aminoalkyl: R2 = H, alkyl: R3 = (un)substituted alkyl, aryl, cycloalkyl; R4 = H, (un)substituted CO2H, CONH2; cycloalkyl, alkenyl, alkynyl, OH, NH2: R5 = H, (un)substituted alkyl: R6 = H, (un)substituted alkyl: R6 = H, (un)substituted Alkyl: NH2: L = CO, CO2, (un)substituted NHCO, OKCO, NEWCO, SO2, SO3, NHSO2, NHSO2, where either CO or SO12 is attached to NH2: X = alkanediyl] were prepared Thus, Me 2-benzimidazolecarbamate was chlorosulfonylated, treated with (1S, 2R) = PhCHZCH (NHBOC) (CH) (CH2NHCHZCHMe2, deblocked, and treated with 2.6-Me2CEHDSCHZCOZH to give the title compound II which had pICSO against HIV-1 strain LAI of 8.5.

602310-26-3P 602310-33-2P 602310-60-1P

602310-86-3P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) AB

(preparation of broad spectrum substituted benzimidazolesulfonamide HIV

(properation of broad spectrum substituted benefitials201esuitonamide protesse inhibitors)
602310-26-9 CAPUS
Benzamide, N-[(15, 2R)-3-[[[2-(acetylamino)-1H-benzimidazol-5y]]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2methyl-4-nitor- (9C1) (CA INDEX NAME)

Absolute stereochemistry.

602310-53-2 CAPLUS
Carbamic acid, [5-[[(2R,3S)-3-[(4-amino-2-methylbenzoyl)amino]-2-hydroxy-4-phenylbutyl](2-methylpropyl)amino]sulfonyl]-lH-benzimidazol-2-yl]-, methyl ester (SCI) (CA INDEX NAME)

L4 ANSWER 10 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

Absolute stereochemistry.

602310-60-] CAPLUS
Carbanic acid, [5-[[(2R,35)-2-hydroxy-3-[(4-hydroxy-2-nethylbenzoyl]anino]-4-phenylbuty]](2-nethylbenzoyl]anino]sulfonyl]-1H-benzimidazol-2-yl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

602310-96-3 CAPLUS
Benzamide, 3-amino-N-[(15,2R)-3-[(1H-benzimidazol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-nethyl- (9CI) (CAINDEX NAME)

Absolute stereochemistry.

REFERENCE COUNT:

THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 11 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

The title compds. [1; R1 = (un)substituted alkyl, alkenyl, alkynyl, etc.; R2 = H. alkyl, haloalkyl, alkenyl, etc.; R3 = H., alkyl, haloalkyl, alkenyl, etc.; R3 = H., alkyl, haloalkyl, alkenyl, etc.; or R2 and R3 are taken together with the carbon to which they are attached to form a carbocycle of 3-7 carbon atoms, optionally where one carbon atom is replaced by a heteroatom selected from the group consisting of 0, S, SO2, (un)substituted NH; R4 = alkyl, haloalkyl, hydroxyalkyl, etc.; R5 = R5 (wherein X = C0, SO2, (un)substituted CH2; R6 = (un)substituted Ph, naphthyl, indanyl, etc.); R25 = H. alkyl, alkowy, etc.] which have activity as inhibitors of B-secretase and are therefore useful in treating a variety of disorders such as Alzheimer's disease, were prepared E.g., a malti-step synthesis of (15, 2R)-II, starting from (25)-2-([tert-butoxycarbonyl)amino]-3-(3,5-difluorophenyl)propanoic acid, was given. The compds. I showed ICSO of < 20 MH in cell free inhibition assay utilizing a synthetic APP substrate. This is a Part 1 of 1-2 series.

327713-59-39
R1: PAC (Pharmacological activity); SPN (Synthetic preparation); TMU (Therapeutic use); BIOL (Biological study): PREP (Preparation); USES (Uses)

11

es; (preparation of N,N'-substituted-1,3-diamino-2-hydroxypropanes for

(preparation of N,N'-substituted-1,3-diamino-2-hydroxypropanes for treating
Alzheimer's disease)
RN 527713-59-3 CAPLUS
CN 1,3-Benzenedicacboxamide, N'-[1-[(3,5-difluorophenyl)methyl]-2-hydroxy-3[(phenylsulfonyl)amino]propyl]-5-methyl-N,N-dipropyl- (9CI) (CA INDEX NAME)

L4 ANSWER 11 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN ACCESSION NUMBER: 2003: 376819 CAPLUS DOCUMENT NUMBER: 138:385173

DOCUMENT NUMBER: TITLE:

198:385173
Preparation of N.M'-substituted-1.3-diamino-2-hydroxypropanes for treating Alzheimer's disease Varghese, John: Maillard, Hichel: Jagodzinska, Barbara: Beck, James P.: Gailunas, Andrea: Fang, Larry: Sealy, Jennifer: Tembrink, Ruth: Freskos, John: Hickelson, John: Samala, Lakshama: Hos, Roy Elan Pharmaceuticals, Inc., USA: Pharmacia & Upjohn Cmemany INVENTOR(S):

PATENT ASSIGNEE (S):

Elan Pharmaceuticals, Ind Company PCT Int. Appl., 1243 pp. CODEN: PIXXO2 Patent English 2 SOURCE:

DOCUMENT TYPE: LANGUAGE:

FAMILY ACC. NUM. COUNT:

P	ATENT I				KIN					APP	LICAT	ION	NO.		D	ATE	
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OTHER	SOURCE	(5) :			MAR	PAT	138:	3851	73		2002-	0530				0021	
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L4 ANSWER 11 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

L4 ANSWER 12 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2002:888736 CAPLUS
137:384835
ITILE: Preparation of 2-amino-benzoxazole sulfonanide as broad-spectrum HIV protease inhibitors
Surleraum, Dominique Louis Nestor Ghislain;
Vendeville, Sandrine Marie Helene; Verschueren, Win Gaston; De Bethune, Marie-Pierre T. M. M. G.; De Kock, Herman Augustinus; Tahri, Abdellah
7 Tibotec Pharmaceuticals Ltd., Ire.
PCT Int. Appl., 54 pp.
CODEM: PIXXO2
DOCUMENT TYPE: Patent

DOCUMENT TYPE: Patent English

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO.	KIND DATE	APPLICATION NO.	DATE
WO 2002092595	A1 20021121	WO 2002-EP5212	20020510
V: AE, AG, AL,	AM, AT, AU, AZ,	BA, BB, BG, BR, BY,	BZ, CA, CH, CN,
CO, CR, CU,	CZ. DE. DK. DM.	DZ, EC, EE, ES, FI,	GB. GD. GE. GH.
		JP, KE, KG, KP, KR,	
		MK, MN, MW, MX, MZ,	
		SI, SK, SL, TJ, TM,	
		ZM. ZV. AM. AZ. BY.	
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	I.S. M2. M7. SD	SL. SZ. TZ. UG. ZM.	THE AT BE CH
		GR, IE, IT, LU, MC,	
		GN, GO, GW, ML, MR.	
		CA 2002-2444895	
		EP 2002-735354	
		GB, GR, IT, LI, LU,	NL, SE, MC, PT,
	LV, FI, RO, MK,		
EE 200300547	A 20040216	EE 2003-547	20020510
BR 2002009594	A 20040330	BR 2002-9594	20020510
CN 1507446	A 20040623	CN 2002-809741 JP 2002-589479	20020510
JP 2004534757	T2 20041118	JP 2002-589479	20020510
NZ 529250	A 20050527	NZ 2002-529250	20020510
ZA 2003007799	A 20050106	NZ 2002-529250 ZA 2003-7799	20031006
US 2004106661	A1 20040603	US 2003-474485	20031009
BG 108309	A 20041230	BG 2003-108309	20031103
PRIORITY APPLN. INFO.:		EP 2001-201732	A 20010511
		EP 2001-201732 WO 2002-EP5212	W 20020510
OTHER SOURCE(S):	MARPAT 137:3848		= /
GI			

ANSWER 12 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

CM 1

CRN 475487-84-4 CMF C30 H36 N4 O6 S

Absolute stereochemistry.

CRN 76-05-1 CMF C2 H F3 O2

475487-88-8 CAPLUS
Benzamide, 3-amino-N-[(15,2R)-2-hydroxy-3-[[[2-(methylamino)-6-benzoxazo]yl]sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-2-methyl-, mono(trifluoroacetate) (salt) (SCI) (CA INDEX NAME)

CH 1

CRN 475487-87-7 CMF C30 H37 N5 O5 S

2

CRN 76-05-1 CMF C2 H F3 O2

L4 ANSWER 12 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

Title compds. I [R1, R8 = H, alkyl, alkenyl, arylalkyl, cycloalkyl, aryl, heterocyclyl, etc.; R2 = H, alkyl; L = CO, OCO, NR8CO, etc.; R3 = alkyl, cycloalkyl, aryl, etc.; R4 = H, alkoxycarbonyl, carboxy, aninocarbonyl, cycloalkyl, etc.; R5-6 = H, alkyl), N-oxides, stereoisomers, metabolites and prodrugs thereof were prepared For instance, II was prepared from the corresponding diamine (preparation described), N,N'-disuccinimidylcarbonate AB

S-hydroxymethylthiazole (CH2Cl2, 6 h). Compds. of the invention are effective in inhibiting a broad range of mutant HIV strains; II had pECSO = 8.18 against HIV-1 (Lai strain).

475487-72-09 473487-85-59 473487-88-89-89
473487-98-09 473488-23-69 473488-33-09
473488-35-39 473488-27-39 473488-73-69
473488-92-79
RI: PAC (Phareacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 2-amino-benzoxazole sulfonamide as broad-spectrum HIV

[preparation of Z-amino-menzoxazole sulfonamide as proso-spectrum niv protease inhibitors]
475487-72-0 CaPLUS
Benzamide, 3-amino-N-[[15,2R]-3-{[(2-amino-6-benzoxazolyl)sulfonyl][2-methylpropyl)amino]-2-bydroxy-1-[phenylmethyl)propyl]-2-methyl- [9CI) (CA INDEX NAME)

Absolute stereochemistry.

475487-85-5 CAPLUS
Benzamide, 3-hydroxy-N-[[18,2R]-2-hydroxy-3-[[[2-(methylamino)-6-benzoxazoly]]sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl)-2-methyl-, mono(trifluoroacetate) (salt) [9CI] (CA INDEX NAME)

L4 ANSWER 12 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

475487-98-0 CAPLUS
Benzamide, 4-bromonN-[(15,2R)-2-hydroxy-3-[[[2-{methylamino}-6-benzoxazolyl]sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

475488-25-6 CAPLUS
Benzamide, N-[(15,2R)-3-[[(2-amino-6-benzoxazolyl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-4-bromo-2-methyl-(9C1) (CA INDEX NAME)

475488-53-0 CAPLUS
Benzamide, N-[(15,2R)-3-[[(2-amino-6-benzoxazolyl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-3-hydroxy-2-methyl-4-nitro-(9Cl) (CA INDEX NAME)

RN 475488-56-3 CAPLUS

ANSWER 12 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
Benzamide, 4-amino-N-[(15,2R)-3-[([2-amino-6-benzoxazolyl)sulfonyl](2methylpropyl)amino|-2-hydroxy-1-(phenylmethyl)propyl]-3-hydroxy-2-methyl(9C1) (CA INDEX NAME)

Absolute stereochemistry

475488-72-3 CAPUS
6-Benzoxazolezarboxanide, N-{(15,2R)-3-{{(2-amino-6-benzoxazoly)| aulfonyl} (2-aethylpropyl) amino}-2-hydroxy-1-{phenylmethyl)propyl}-7-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

475488-75-6 CAPLUS
1,3-Benzodioxole-5-carboxamide, N-{ (15,2R)-3-{[(2-amino-6-benzoxazoly)] sulfonyl] (2-methylpropyl) amino]-2-hydroxy-1-(phenylmethyl)propyl]-4-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

475488-92-7 CAPLUS
Benzamide, N-{(15,2R)-3-{{(2-amino-6-benzoxazolyl)sulfonyl}{2-pyridinylnethyl]amino}-2-hydroxy-1-(phenylmethyl)propyl}-3-hydroxy-2-methyl-, mono(trifluoroacetate) (salt) (9C1) (CA INDEX NAME)

CM 1

CRN 475488-91-6 CMF C31 H31 N5 O6 S

L4 ANSWER 13 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN ACCESSION NUMBER: 2002:814117 CAPLUS DOCUMENT NUMBER: 137:325410

TITLE:

137:325410
Broad-spectrum 2-(substituted-amino)benzothiazolesulfonamide HIV protease inhibitors
Surleraux, Dominique Louis Nestor Ghislain: Wigerinck,
Piet Tom Bert Paul; Getman, Daniel: Verschueren, Wim
Gaston: Vendeville, Sandrine: De Bethune,
Marie-Pierre: De Kerpel, Jan Octaaf Antoon: Moors,
Samuel Leo Christiaan: De Xock, Herman Augustinus:
Voets, Marieke Christiane Johanna
Tibotec Pharmaceuticals Ltd., Ire.
PCT Int. Appl., 83 pp.
CODEN: PIXXD2
Patent
English INVENTOR(S):

PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE: LANGUAGE:

English

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

		APPLICATION NO.	DATE
		WO 2002-EP1788	20020214
W: AE, AG, AL, CO, CR, CU, GM, HR, HU, LS, LT, LU, PL, PT, RO, UA, UG, US, TJ, TM	AM, AT, AU, AZ, CZ, DE, DK, DM, ID, IL, IN, IS, LV, MA, MD, MG, RU, SD, SE, SG, UZ, VN, YU, ZA,	BA. BB. BG. BR. BY. BZ DZ. EC. EE. ES. FI. GG JP. KE. KG. KP. KR. KX MK. MN. MW. MX. MZ. NG SI. SK. SL. TJ. TM. T ZM. ZW. AM. AZ. BY. KX	B, GD, GE, GH, Z, LC, LK, LR, D, NZ, OM, PH, N, TR, TT, TZ, G, KZ, MD, RU,
CY, DE, DK, BF, BJ, CF,	ES, FI, FR, GB, CG, CI, CM, GA,	GR, IE, IT, LU, MC, NI GN, GQ, GW, ML, MR, NE	L, PT, SE, TR, E, SN, TD, TG
CA 2438304	AA 20021024	CA 2002-2438304	20020214
EE 200300381	A 20031215	EE 2003-381	20020214
EP 1370543	A2 20031217	EP 2002-729930	20020214
R: AT, BE, CH.	DE. DK. ES. FR.	GB, GR, IT, LI, LU, NI	L. SE. MC. PT.
IE. SI. LT.	LV. FT. RO. MK.	CY. AL. TR	
BR 2002007862	A 20040622	BR 2002-7862 JP 2002-581413	20020214
JP 2004518767	72 20040624	JP 2002-581413	20020214
CN 1525962	A 20040901	CN 2002-804982	20020214
N7 527301	3 20050420	N7 2002-527301	20020214
73 2003006086	30041109	73 2003-6096	20020214
US 2004116485	31 20041100	CN 2002-804982 NZ 2002-527391 ZA 2003-6086 US 2003-467609	20030000
NO 2003003584	A 20073017	NO 2003-3584	20030007
BG 108143	A 20031014	NO 2003-3584 BG 2003-108143	20030013
PRIORITY APPLN. INFO.:	A 20040730	EP 2001-200529	
PRIORITI AFFER. INFO		US 2001-200329	
		WO 2002-EP1788	
OTHER SOURCE(S):	MARPAT 137:3254		- 20020214

L4 ANSWER 12 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN Absolute stereochemistry. (Continued)

СH 2

CRN 76-05-1 CMF C2 H F3 O2

REFERENCE COUNT:

THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 13 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

Title compds. 1 [R1, R8 = H, (un)substituted alkyl, alkenyl, cycloalkyl, aryl, heterocyclyl, heterocyclylalkyl; R2 = H, alkyl; L = C0, O2C, (un)substituted NHCO, oxoalkylcarbonyl, aminoalkylcarbonyl, SO2, O3S, NHSO2; R3 = alkyl, aryl, cycloalkyl, cycloalkyl, aralkyl; R8 = H, alkoxycarbonyl, carbony, (un)substituted CONH2, cycloalkyl, alkenyl, R8 = H, alkoxycarbonyl, carbony, (un)substituted CONH2, cycloalkyl, alkenyl, alkynyl (un)substituted alkyl; A = alkanediyl, C0, C5, SO2, oxoalkanediyl, thioalkanediyl, alkanediylsulfonyl; R5 = H, OH, alkyl, heterocyclylalkyl, cun)substituted aminoalkyl; R6 = alkoxy, heterocyclyl, heterocyclylakyl, aryl, aryloxy, alkoxycarbonylamino, amino; and when A is other than alkanediyl then R6 may also be alkyl, heterocyclylakyl, heterocyclyloxyalkyl, aralkyl, aryloxyalkyl, (un)substituted aminoalkyl; R5NAR6 = heterocyclic) their N-oxides, salts, stereoiomeric forms, racemic mixts., prodrugs, esters and metabolites were prepared I are useful as broad-spectrum HIV protease inhibitors, and may be formulated in diagnostic kits. Thus, the sulfonanide II, prepared in several steps from the benzothiazolecarbamate, showed activity against a number of resistant mutants of HIV-1 strain LAI.
473737-89-59 473737-55-2P 473737-56-3P
473737-89-59 473737-61-4P 473737-89-6P
473737-89-59 473737-99-99 473737-99-99 473737-99-99 473737-99-99 473737-99-9P
RL: PAC (Pharmacological activityl); SPN (Synthetic preparation); THU

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(Uses)
(broad-spectrum 2-aminobenzothiazolesulfonamide HIV protease inhibitors)
473737-52-9 CAPLUS
Benzamide, 3-amino-N-[[1s, 2R]-3-[[[2-(dimethylamino)ethyl]amino]-6-benzothiazolyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-(9C) (CA INDEX NAME)

473737-55-2 CAPLUS
1-Pyrrolidineacetanide, N-[6-{{{(2R,35}-3-{(4-amino-2-methylbenzoyl)amino}-2-hydroxy-4-phenylbutyl](2-methylpropyl)amino]aulfonyl]-2-benzothiazolyl]-(9C1) (CA INDEX NAME)

Absolute stereochemistry.

473737-56-3 CAPLUS
Benzamide, 4-amino-N-{{15,2R}-3-{{[2-{{(dimethylamino)acetyl]amino}-6-benzothiazolyljaulfonyl](2-methylpropyl)amino}-2-hydroxy-1-{phenylmethyl)propyl}-2-methyl- (9Cl) (CA INDEX NAME)

Absolute stereochemistry.

473737-58-5 CAPLUS
Benzamide, 3-amino-N-[[15,2R)-2-hydroxy-3-[(2-methylpropyl)[{2-[[2-(1-pyrolidinyl)] ethyl] amino]-6-benzothiazolyl]sulfonyl]amino]-1(phenylmethyl)propyl]-2-methyl- {9Cl} (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 13 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

PAGE 1-A

PAGE 1-B

PAGE 1-A

473737-77-8 CAPLUS

1-Piperazineacetanide, N-[6-[[[(2R,3S)-3-[(3-amino-2-methylbenzoy1)amino]-2-hydroxy-4-phenylbutyl](2-methylpropyl)amino]sulfonyl]-2-benzothiazolyl]-4-methyl, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 473737-76-7 CMF C36 H47 N7 O5 S2

Absolute stereochemistry.

L4 ANSWER 13 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

PAGE 1-B

473737-67-6 CAPLUS
Benzamide, 3-amino-N-{(15,2R)-3-{[{2-{[3-(dimethylamino)propyl}amino}-6-benzothiazolyl}sulfonyl](2-methylpropyl)amino]-2-bydroxy-1(phenylmethyl)propyl)-2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-B

- NMe2

473737-73-4 CAPLUS
Benzamide, 3-amino-N-{{15,2R}-2-hydroxy-3-{(2-methylpropyl)}[{2-{{2-{1-pipezairy}}}}ethyl]amino]-6-benzothiazolyl]sulfonyl]amino]-1-{phenylmethyl)propyl]-2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 13 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

PAGE 1-B

CM 2

CRN 76-05-1 CMF C2 H F3 O2

F-C-C02H

473737-81-4 CAPLUS
1-Pyrrolidineacetamide, N-[6-{[[(2R,3S)-3-[(4-bromo-2-methylbenzoy1)amino]-2-hydroxy-4-phenylbuty1](2-methylpropy1)amino]oulfony1]-2-benzothiazoly1]-(9C1) (CA INDEX NAME)

Absolute stereochemistry.

473737-83-6 CAPLUS Benzamide, N-{[15,2R]-3-{[[2-{acetylamino}]-6-benzothiazolyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-5-nitro-(9CI) (CA INDEX NAME)

473737-84-7 · CAPLUS
Benzamide, N-[(15,2R)-3-[[[2-{acetylamino}]-6-benzothiazolyl] sulfonyl] (2methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-5-amino-2-methyl[9C1] (CA INDEX NAME)

Absolute stereochemistry.

473737-85-8 CAPLUS
Benzamide, 5-(acetylamino)-N-{(15,2R)-3-[[(2-(acetylamino)-6-benzothiazolyl]sulfonyl](2-methylpropyl)aminoj-2-hydroxy-1-(phenylmethyl)propyl}-2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

473737-87-0 CAPLUS
Benzamide, 3-fluoro-N-{(15,2R)-3-{[(2-[(3-fluoro-2-methylbenzoyl)amino]-6-benzothiazolyl)aulfonyl](2-methylpropyl)amino]-2-bydroxy-1-(phenylmethyl)propyl]-2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 13 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

L4 ANSWER 13 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN

PAGE 1-B

(Continued)

~ F

473737-93-8 CAPLUS
Benzanide, N-[115,ZR]-3-[[[2-(acetylmethylamino)-6-benzothiazolyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-3-amino-2-methyl- [9CI] (CA INDEX NAME)

Absolute stereochemistry.

$$\begin{array}{c|c} & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & &$$

473737-94-9 CAPLUS
Benzanide, N-[(15,2R)-3-[([2-(acetylmethylamino)-6-benzothiazolyl]sulfonyl](2-methylpropyl)amino)-2-hydroxy-1-[phenylmethyl)propyl]-3-hydroxy-2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

473739-99-0 CAPLUS
1-PyrrOlidineacetamide, N-[6-{[{(2R,35)-3-{(3-amino-2-methylbenzoyl)amino]-2-hydroxy-4-phenylbutyl}(2-methylpropyl)amino]sulfonyl]-2-benzothiazolyl]-(9C1) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 14 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2000;785899 CAPLUS
DOCUMENT NUMBER: 133:335236
ITITLE: 213:335236
INVENTOR(S): 5 Freskos, John N.; Getman, Daniel P.; Talley, John J.; Sikorski, James A.
PATENT ASSIGNEE(S): 6.D. Searle and Co., USA
OURCE: U.S., 60 pp., Cont.-in-part of U.S. Ser. No. 376,337, abandoned.
CODEN: USKKAM
DOCUMENT TYPE: Patent INFORMATION: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION: 2

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

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	US	6143	747			A		2000	1107		US 1	998-	8750	25		1	9980	226	
	WO	9622	287			A1		1996	0725		WO 1	996-	US60	7		1	9960	118	
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		2004															0030		
PRIOR												995-					9950	120	
												996-							
												996-							
												998-							
												000-							
											UD 2	002-	1000	,		AI Z	UUZU	213	

OTHER SOURCE(S): MARPAT 133:335236

RIORIINSOw(CR7R8)tCHRIC(:Y)NR6CHR2CH(OH)NR3SOwR4 [RI = H, CH2SOZNH2, CH2COZMe, COZMe, COZME, Lakyl, alkenyl, alkynyl, heterocyclyl, amino acid sidechain, etc.; R2 = (substituted) alkyl, aryl, cycloalkyl, cycloalkyl, aralkyl, heteroaryl, heteroaralkyl; R3 = H, alkyl, haloalkyl, alkenyl, alkynyl, hydroxyalkyl, alkoxyalkyl, cycloalkyl, heterocyclyl, heteroaryl, etc.; R4 = alkyl, haloalkyl, alkenyl, alkynyl, alkynyl,

- ANSWER 14 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) hydroxyalkyl, alkoxyalkyl, cycloalkyl, heteroaryl, heterocyclyl, etc.; R6, R8 = H, alkyl; R7 = COZH, anidino, N-alkylanidino, R1; R1R7 = atoms to form a (heterocyclic) ring; R10, R11 = H, alkyl, hydroxyalkyl, alkoxyalkyl, cycloalkyl, R10, R11 = H, alkyl, hydroxyalkyl, alkoxyalkyl, cycloalkyl, etc.; R10, R1N = heterocyclyl, heteroaryl, arylacarbonylalkyl, etc.; R10R1N = heterocyclyl, heteroaryl, etc.; x, v = 0, 1, 2; t = 0.6; Y = 0, S, RH], vere prepd. Thus, 3 (N-morpholinosulfonyl)-2(R)-methylpropionic acid (prepn. given) in DMF at 0° was treated with hydroxybenzotrizzole and EDC followed by addm. of 35-amino-1-{N-(2-methylpropox)]-N-(4-methynylpulfonyl)aminol-4-phenyl-27-butanol (prepn. given) in DMF to give 67% title compd. (1). This inhibited HIV-1 in CDM cells with IC50 = 10 nM.
 169280-59-5P 169280-60-8P 169280-65-3P
 RE: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of hydroxyethylamino bissulfonanides as retroviral protease inhibitors)
 169280-59-5 CAPLUS
 Benzamide, N-([15, ZR)-3-{{4-aminophenyl}sulfonyl}(2-methylpropyl)amino}-2-hydroxy-1-(phenylbethyl)propyl]-2-methyl- (9CI) (CA INDEX NAME)

169280-60-8 CAPLUS
Benzamide, N-[(15,2R)-3-[[(4-aminophenyl)sulfonyl)(2-methylpropyl)amino)-2-hydroxy-1-(phenylmethyl)propyl]-3-hydroxy-2-methyl- (9Cl) (CA INDEX NAME)

169280-65-3 CAPLUS
Benzamide, N-[(15.2R)-3-[[(3-aminophenyl)sulfonyl](2-methylpropyl)amino]-2hydroxy-1-(phenylmethyl)propyyl]-3-hydroxy-2-methyl- (9Cl) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 15 OF 24
ACCESSION NUMBER:
DOCUMENT NUMBER:
132:322147
Preparation of a- and β-amino acid hydroxyethylamino sulfonamides as retro viral protease inhibitors.

INVENTOR(5):
Varquez, Michael L.; Mueller, Richard A.; Talley, John J.; Getman, Daniel P.; Decrescenzo, Gary A.; Freskos, John N.; Heintz, Robert M.; Bertenshaw, Deborah E.
SOURCE:
U.S., 93 pp., Cont.-in-part of Appl. PCT/US93/07814.
DOCUMENT TYPE:
DOCUMENT TYPE:
LANGUAGE:
English

English 6

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

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US	6060	476			A	-	2000	0509		US	1994 - 1993 -	2048	27		1	9940	302
WO	9404	492			A1		1994	0303		WO	1993-	US78	14		1	9930	824
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		KP,	KR,	KZ,	LK,	LU,	MG,	MN,	MW.	NL	, NO.	NZ.	PL,	PT,	RO,	RU,	SD,
		SE,	SK,	UA,	US,	VN											
	RW:	AT,	BE,	CH,	DE,	DX,	ES,	FR,	GB,	GR	, IE,	IT,	LU,	MC.	NL.	PT,	SE,
			BJ.	CF.	CG.	CI.	CH.	GA.	GN.	ML	. MR.	NE.	SN.	TĐ.	TG		
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EP	8102	09			В1		2002	0605									
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		NL.	PT.	SE.	BF.	BJ.	CF.	CG.	CI.	CM	. GA.	GN.	ML.	MR.	NE.	SN.	TD.
AU	9476	697			Al	,	1995	0321		AU	1994 - 1994 -	7669	7	,	1	9940	823
EP	7156	18			A1		1996	0612		EP	1994	9271	62		1	9940	823
EP	7156	18			B1		1998	1216									
AT	1745	87		,	Ė	,	1999	0115	,	AT	1994	9271	62		1	9940	823
ES	2127	938			T3		1999	0501		ES	1994	9271	62		ī	9940	823
us	5968	942			A		1999	1019		US	1994- 1994- 1994- 1995- 1999- 2000- 2001-	2944	68		1	9940	823
US	6455	581			81		2002	0924		US	1995-	4510	90		1	9950	525
us	6248	775			81		2001	0619		US	1999-	-2880	80		1	9990	408
US	6500	832			81		2002	1231		US	2000-	-5251	61		2	0000	314
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US	2004	0440	47		A1			0304		us	2002-	1994	91		2	0020	722
US	6846	954	• •		B2												
us	6924	286			R1		2005	0802		us	2003-	-6333	76		2	0030	804
us	2004	2299	22		A1		2004	1118		us	2004	-A123	43		5	0040	330
iis	2005	2671	71		A1		2005	1201		iis	2005	1109	43		2	0050	421
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A 19940302 A1 19940823

ANSWER 14 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

REFERENCE COUNT:

THERE ARE 53 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 15 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN WO 1994-US9139 US 1995-451090 US 1999-288080 (Continued) W 19940823 A3 19950525 A1 19990408 A1 20010305 A1 20020530 US 2001-798255 US 2002-157019 A3 20020722 US 2002-199481 OTHER SOURCE(S): US 2003-633376

MARPAT 132:322147

(amino acid nydroxyetnylamino sulfonamides as retroviral processe inhibitors)
169280-77-7 CAPLUS
Benzamide, 4-amino-N-[(15,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)smino]-1-(phenylmethyl)propyl]-2-methyl- (9CI) (CA INDEX NAME)

169280-78-8 CAPLUS
Benzanide, N-[(15,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-meth)propyl)anino)-1-(phenylmethyl)propyl]-2-methyl-4-nitro-(9CI) (CA

Absolute stereochemistry.

159005-75-1P 159005-76-2P 159005-77-3P 159005-78-4P 159005-78-4P 159006-42-5P 169280-3B-0P 169280-3P 19 169281-00-5P 169281-07-6P 169281-08-7P 169281-10-8P 169281-10-1P 169281-12-7P 216871-12-7P 216871-08-8P 216871-44-6P 216871-12-7P 216871-34-0P 216871-46-8P 216871-46-4P 216871-35-0P 216871-68-P 216871-68-P 216871-69-7P 216871-77-1P 216871-86-0P 216871-97-08-P 216871-87-08-P 216871-97-08-P 216871-97-08-P 216871-97-08-P 216871-97-08-P 216871-97-08-P 216871-37-6P 216871-97-08-P 216871-37-6P 216872-31-6P 216871-97-08-P 216872-31-6P 21

Absolute stereochemistry.

ANSWER 15 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) 159006-42-5 CAPLUS
Benzamide, N-[(15, 2R)-2-hydroxy-3-[((4-methoxyphenyl)sulfonyl)[2-methylpropyl)amino]-1-(phenylmethyl)propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

169280-38-0 CAPLUS
Benzamide, 4-(dimethylamino)-N-[[1s,2R]-2-hydroxy-3-[[4-methoxyphenyl]sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-2-methyl- (GCI INDEX NAME)

Absolute stereochemistry.

Absolute stereochemistry.

169281-06-5 CAPUS
Benzamide, N-[(15,2R)-2-hydroxy-3-[(3-methylbutyl)(phenylsulfonyl)amino]-1(phenylmethyl)propyl]- (9C1) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 15 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

159005-76-2 CAPLUS
Benzamide, N-[(15,2R)-2-hydroxy-3-[((4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

159005-77-3 CAPLUS
Benzamide, 2-ethyl-N-{(15,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino|-1-(phenylmethyl)propyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

159005-78-4 CAPLUS
Benzamide, 2-chloro-N-[(15,2R)-2-hydroxy-3-[[(4-methoxypheny1)sulfony1](2-meth)propy1)aminoj-1-(phenylmeth)propy1]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 15 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

 $169281-07-6 \quad CAPLUS \\ Benzamide, \ N-\{ (15,2R)-2-hydroxy-3-\{ (4-methoxypheny1) \ sulfony1 \} \ (2-methylpropy1) \ amino -1-(phenylmethyl) \ propy1 \} -2-methyl-3-nitro- (9CI) \quad (CAINDEX NAME)$

Absolute stereochemistry.

Absolute stereochemistry.

169281-09-8 CAPLUS
Benzamide, 3-(dimethylamino)-N-[(15,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-2-methyl-(9CI) (CA INDEX NAME)

RN 169281-10-1 CAPLUS

Benzamide, N-[(15,2R)-2-bydroxy-3-{{{4-methoxyphenyl}} sulfonyl}{2-methylpropyl} amino]-1-(phenylmethyl)propyl}-2-methyl-5-nitro-(9CI) (CA NNDEX NAME)

Absolute stereochemistry.

RN 169281-11-2 CAPLUS
CN Benzamide, 5-amino-N-[(15,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 169281-12-3 CAPLUS

Benzamide, 5-(dimethylamino)-N-[(1S, 2R)-2-hydroxy-3-[[(4-methoxyphenyl) sulfonyl) (2-methylpropyl) amino]-1-(phenylmethyl) propyl}-2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 15 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 216871-40-8 CAPLUS
CN Benzamide, 2-ethyl-N-[2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)aninol-1-[phenylmethyl)propyl]- (9C1) (CA INDEX NAME)

RN 216971-46-4 CAPLUS

Benzamide, N-{2-hydroxy-3-{{{4-methoxyphenyl}sulfonyl}{2-} } (9CI) (CA INDEX NAME)

RN 216871-50-0 CAPLUS
CN Benzamide, N-[2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-2,6-dimethyl- (9CI) (CA INDEX NAME)

RN 216971-56-6 CAPLUS

Benzamide, N-{2-hydroxy-3-{{(4-methoxyphenyl)sulfonyl}{2-methylpropyl}amino}-1-(phenylmethyl)propyl}-2,3-dimethyl- (9CI) (CA INDEX NAME)

L4 ANSWER 15 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 216871-08-8 CAPLUS
CN 1H-Indole-5-carboxamide, N-{2-hydroxy-3-[[{4-methoxyphenyl} sulfonyl} (2-methylpropyl) amino]-1-(phenylmethyl)propyl]- (9CI) (CA INDEX NAME)

RN 216871-14-6 CAPLUS
CN Benzamide, N-{2-hydroxy-3-{[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl}-2,4-dimethyl- (9CI) (CA INDEX NAME)

RN 216871-19-1 CAPLUS
CN Benzamide, N-[2-hydroxy-3-{[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-[phenylmethyl)propyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 216871-34-0 CAPLUS
CN Benzamide, 2-chloro-N-{2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl){2-methylpropyl}anion]-1-{phenylmethyl)propyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 15 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 216871-60-2 CAPLUS

Benzamide, N-[2-hydroxy-3-[[{4-methoxyphenyl}sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-2-(methylsulfonyl)- (9CI) (CA INDEX NAME)

RN 216871-65-7 CAPLUS

Benzamide, N-[2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-3-(methylsulfonyl)- (9CI) (CA INDEX NAME)

RN 216871-68-0 CAPLUS
CN Benzamide, N-{2-hydroxy-3-{{(4-methoxyphenyl)sulfonyl}(2-methylpropyl)amino}-1-(phenylmethyl)propyl}-4-(methylsulfonyl)- (9CI) (CA INDEX NAME)

RN 216871-72-6 CAPLUS

Benzamide, N-[2-hydroxy-3-[{(4-methoxyphenyl)sulfonyl](2-methylpropyl)smino}-1-(phenylmethyl)propyl]-2-[(methylsulfonyl)methyl]-(9CI) (CA INDEX NAME)

216871-77-1 CAPLUS
Benzaaide, N-[2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl][2-methylpropyl]saino]-1-[phenylmethylpropyl]-3-[(methylsulfonyl)methyl]-(9CI) (CA INDEX NAME)

216871-82-8 CAPLUS
Benzamide, N-[2-hydroxy-3-[{(4-methoxyphenyl)sulfonyl][2-methylpropyl)amino]-1-(phenylmethyl)propyl]-4-[(methylsulfonyl)methyl]-(9CI) (CA INDEX NAME)

216871-92-0 CAPLUS
Benzamide, N-{2-hydroxy-3-{(2-methylpropyl)(phenylsulfonyl)amino}-1-(phenylmethyl)propyl]- (9CI) (CA INDEX NAME)

216871-97-5 CAPLUS
Benzamide, N-[2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino|-1-(phenylmethyl)propyl]- (GC INDEX NAME)

ANSWER 15 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

216872-28-5 CAPLUS
Benzamide, N-[2-hydroxy-3-{{(4-methoxyphenyl)sulfonyl}{2-methylpropyl}amino}-1-(phenylmethyl)propyl}-3-methoxy- (9CI) (CA INDEX NAME)

216872-34-3 CAPLUS
Benzamide, N-[2-hydroxy-3-[[{4-methoxyphenyl}sulfonyl]{2-methylpropyl}amino]-1-{phenylmethyl}propyl]-4-methoxy- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 15 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

216872-02-5 CAPLUS
Benzamide, N-[2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-2-methyl- (9CI) (CA INDEX

216872-08-1 CAPLUS Benzamide, N-[2-hydroxy-3-{{(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-3-methyl- (9CI) (CA INDEX

216872-13-8 CAPLUS
Benzamide, N-[2-hydroxy-3-{[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-4-methyl- (9CI) (CA INDEX

216872-20-7 CAPLUS
Benzamide, N-[2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-[phenylmethyl)propyl]-2-methoxy- (9CI) (CA INDEX

L4 ANSVER 16 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN ACCESSION NUMBER: 2000:220728 CAPLUS DOCUMENT NUMBER: 132:265504

DOCUMENT NUMBER: TITLE:

INVENTOR(S):

132:265504
Preparation of hydroxyethylamino sulfonamides useful as retroviral protease inhibitors.
Vazquez, Michael L.; Nueller, Richard A.; Talley, John J.; Getman, Daniel P.: Decrescenzo, Gary A.: Freskos, John N.: Bertebshaw, Deborah E.; Heintz, Robert M. G.D. Searle and Co., USA
U.S., 119 pp., Cont.-in-part of U.S. 204,872, abacdment

PATENT ASSIGNEE(S): SOURCE:

abandoned. CODEN: USXXAM Patent

DOCUMENT TYPE:

English 6

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

	PAT	ENT	NO.			KIN	D	DATE			APPL	ICAT	ION I	NO.		D.	ATE		
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	บร	6046	190			A		2000	0404		us 1	996-	5868	66		11	9960	124	
	WO	9404	492			A1		1994	0303		WO 1	993-	US78	14		1	9930	924	
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			GE.	HU.	JP.	KE.	KG.	KP.	KR.	KZ.	LK.	LT,	LU,	LV,	MD,	MG.	MN,	MW,	
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THEF	3 50	DURCE	(5):			MAR	PAT	132:	2655	04									

R SOURCE(S): MARPAT 132:265504
Hydroxyethylamino sulfonamide compds. R9R1ON(CR7R8)pCHR1C(:Y)NR6CHR2CH(OH)
CH2NR3S(:O)xR4 [1: R1 = H, CH2SOZHH2, CH2CO2CH3, alkyl, haloalkyl,
alkenyl, alkynyl, cycloalkyl, amino acid side chains, etc.: R2 =
(un)substituted alkyl, aryl, cycloalkyl, cycloalkylakyl, aralkyl; R3 = H,
alkyl, haloalkyl, alkenyl, alkynyl, aryl, heteroaryl, mono- and
disubstituted aminoalkyl, etc.: R4 = alkyl, haloalkyl, alkenyl, alkynyl,
aryl, (un)saturated heterocycle, (un)substituted amomatic heterocycloalkyl,

R6 = H, alkyl: Y = O, S, NR3: R7.R8 = independently H, R1, or together with R1 and the carbon atoms to which they are attached represent a cycloalkyl radical: R9 = H, R3, or R3SO2: R10 = H, alkowycarbonyl, alkylcarbonyl, arcylowycarbonyl, heterocyclylalkowycarbonyl, monoand disubstituted aminocarbonyl, or aminoalkanoyl, etc.: or R9R10N = heterocycloalkyl or heteroaryl: x = O-2: p = O-1] or their pharmaceutically acceptable salts, prodrugs, or esters were prepared as inhibitors of retroviral proteases such as human immunodeficiency virus (HIV). Many inhibitors were prepared by (1) preparing an N-protected amino

ANSWER 16 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) epoxide and (2) reacting this with an amine and (3) preps. a sulfonanide by reacting with a sulfonyl chloride or sulfonyl anhydride in the presence of an acid scavenger. The amino function of the sulfonanide was then (4) deprotected and (5) reacted with a carboxylate. Thus, "In-[ZR-hydroxy-3-{[2-nethylburly] (phenylsulfonyl) amino]-15-(phenylaethyl)propyl)-25-[2-quinolinylcarboxy] amino] butanediamide was prepd. and assayed for HIV protease inhibitory activity (IC50 = 1.5 AM). Compds. of formula I were tested for cytotoxicity and antiviral efficacy (IC50, EX50, and TD50 values at the nanomolar level are tabulated). 163280-77-79 163280-78-69
RL: BAC (Biological activity or effector, except adverse): BSU (Biological study, unclassified): RCT (Reactant): SFN (Synthetic preparation): RACT (Reactant or reagent): USES (Uses) (preparation of hydroxyethylamino sulfonamides useful as retroviral cases (shibitors)

(preparation of hydroxyethylamino sulfonamides useful as retroviral protease inhibitors)

RN 169280-77-7 CAPLUS

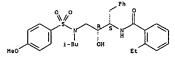
CN Benzamide, 4-amino-N-[(15,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-ethylpropyl)amino]-1-(phenylmethyl)propyl]-2-methyl- (9Cl) (CA INDEX NAME)

Absolute stereochemistry.

169280-78-8 CAPLUS
Benzamide, N-{(15, ZR)-2-hydroxy-3-{{(4-methoxyphenyl) sulfonyl}{2-methylpropyl}amino}-1-{phenylmethyl)propyl}-2-methyl-4-nitro-(9CI) (CA INDEX NAME)

159005-75-1P 159005-76-2P 159005-77-3P 159005-78-4P 159005-42-5P 169200-38-0P 169200-39-1P 169200-39-1P 169200-39-1P 169200-39-1P 169200-39-5P 169200-49-8P 169200-55-3P 169200-76-0P 169200-76-6P 169200-76-6P 169200-76-6P 169201-70-6P 169201-70-6P 169201-70-1P 169201-70-8P 169201-70-1P 169201-70-8P 16920 ΙT

ANSWER 16 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



159005-78-4 CAPLUS
Benzamide, 2-6-horo-N-[(15,2R)-2-hydroxy-3-[((4-methoxyphenyl)sulfonyl)(2-methylpropyl)aminoj-1-(phenylmethylpropyl)- (SCI) (CA INDEX NAME)

Absolute stereochemistry.

1590G-42-5 CAPLUS
Benzamide, N-[(15,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)aminoj-l-[phenylmethylpropyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

 $\label{local-equation} \begin{tabular}{ll} 169280-38-0 & CAPLUS \\ Benzamide, & - (dimethylamino) -N-{\{15,2R\}-2-hydroxy-3-\{\{(4-methoxyphenyl) \mbox{sulforpyl}\}(2-methylpropyl) \mbox{amino}\}-1-(phenylmethyl) \mbox{propyl}\}-2-methyl- (9CI) & (CA INDEX NAME) \\ \end{tabular}$

Absolute stereochemistry.

ANSWER 16 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN 216871-40-8P 216871-46-4P 216871-50-OP 216871-50-OP 216871-56-6P 216871-60-2P 216871-65-7P 216871-68-OP 216871-77-1P 216871-92-0P 216871-97-3P 216872-92-OP 216871-97-3P 216872-98-1P 216872-13-8P 216872-20-7P 216872-93-1P (Continued) 216872-34-3P RIL BAC (Biological activity or effector, except adverse): BSU (Biological study, unclassified): SPN (Synthetic preparation): TBU (Therapeutic use): BIOL (Biological study): PREP (Preparation): USES (Uses) (prepn. of hydroxyethylamino sulfonamides useful as retroviral protease inhibitoral

BIOL (Biological study): PREP (Preparation): USES (Uses) (prepn. of hydroxyethylamino sulfonamides useful as retroviral protease inhibitors) 15905-75-1 CAPLUS (Preparation): Protease inhibitors): Protease inhibitors (Preparation): Preparation inhibitors (Preparation): Pre

Absolute stereochemistry.

159005-77-3 CAPLUS
Benzamide, 2-ethyl-N-[(15,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-[phenylmethyl)propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 16 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

169280-39-1 CAPLUS
Benzamide, N-[(15,2R)-2-hydroxy-3-[{(4-hydroxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl)-2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

169280-40-4 CAPUS
Benzamide, 3-hydroxy-N-[(15,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)saino]-1-(phenylmethyl)propyl]-2-methyl- (9C1) (CA INDEX

Absolute stereochemistry.

169280-44-8 CAPLUS 6-Benzothiazolecarboxamide, 2-amino-N-{(15,2R)-2-hydroxy-3-{{(4-methoxyhenyl)sulfonyl)(2-methylpropyl)amino}-1-(phenylmethyl)propyl}-(9CI) (CA INDEX NAME)

169280-59-5 CAPLUS
Benzamide, M-{(15,2R)-3-{[(4-aminophenyl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl}-2-methyl- (9CI) (CA INDEX NAME)

169280-60-8 CAPLUS
Benzamide, N-[(15,2R)-3-[[(4-aminophenyl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-3-hydroxy-2-methyl- (9Cl) (CA INDEX NAME)

169280-65-3 CAPLUS
Benzamide, N-[(15,2R)-3-[{(3-aminophenyl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-3-hydroxy-2-methyl- (9CI) (CA INDEX NAME)

ANSWER 16 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

169281-06-5 CAPLUS
Benzamide, N-[(15,2R)-2-hydroxy-3-[(3-methylbutyl)(phenylsulfonyl)amino]-1-(phenylmethyl)propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

169281-07-6 CAPLUS
Benzamide, N-[(15,2R)-2-hydroxy-3-[{(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-2-methyl-3-nitro-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

169281-08-7 CAPLUS
Benzamide, 3-amino-N-[(15,2R)-2-hydroxy-3-[[(4-methoxypheny1)sulfony1](2-methylpropy1)amino]-1-(phenylmethyl)propy1]-2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 16 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN

169280-70-0 CAPLUS
Benzamide, 3-amino-N-[(15,2R)-3-[(2,3-dihydro-5-benzofuranyl)sulfonyl](2-aethylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl- (9CI) (CA INDEX NAME)

(Continued)

Absolute stereochemistry.

169280-74-4 CAPLUS
Benzamide, 3-amino-N-[(15,2R)-3-[[1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

169280-75-5 CAPLUS
Benzamide, N-{(15,2R)-3-{(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino|-2-hydroxy-1-(phenylmethyl)propyl]-4-hydroxy-2-methyl-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

169280-76-6 CAPLUS
Benzamide, N-{(15,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino|-2-hydroxy-1-(phenylmethyl)propyl]-3-hydroxy-2-methyl-(9Cl) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 16 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

169281-09-8 CAPLUS
Benzamide, 3-{dimethylamino}-N-{{15,2R}-2-hydroxy-3-{{4-methoxyphenyl}sulfonyl}{2-methylpropyl}amino}-1-{phenylmethyl}propyl}-2-methyl-{9CI} (CA INDEX NAME)

Absolute stereochemistry.

169281-10-1 CAPLUS
Benzamide, N-[(15,ZR)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-2-methyl-5-nitro-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 169281-12-3 CAPLUS

Senzanide, 5-(dimethylamino)-N-[(15,2R)-2-hydroxy-3-[{(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 216871-08-8 CAPLUS
CN 1H-Indole-5-carboxamide, N-{2-hydroxy-3-{{4-methoxyphenyl}sulfonyl}{2-methylpropyl}amino}-1-{phenylmethyl)propyl}- (9CI) (CA INDEX NAME)

RN 216871-14-6 CAPLUS
CN Benzamide, N-[2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl][2-methylpropyl]amino]-1-[phenylmethyl)propyl]-2,4-dimethyl- (9CI) (CA INDEX NAME)

RN 216871-19-1 CAPLUS
Benzamide, N-{2-hydroxy-3-{[{4-methoxyphenyl}sulfonyl]{2-methylpropyl}amino]-1-{phenylmethyl}propyl}-2,5-dimethyl-{9CI} (CA INDEX NAME)

L4 ANSWER 16 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN (Continued

RN 216871-56-6 CAPLUS
CN Benzamide, N-[2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl][2-methylpropyl)amino]-1-[phenylmethyl)propyl]-2,3-dimethylNAME)
NAME)

RN 216871-60-2 CAPLUS

CN Benzamide, N-{2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-2-(methylsulfonyl)- (9CI) (CA INDEX NAME)

RN 216871-65-7 CAPLUS

Benzamide, N-[2-hydroxy-3-{[{4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-3-(methylsulfonyl)- (9CI) (CA INDEX NAME)

RN 216871-68-0 CAPLUS

Senzamide, N-[2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-4-(methylsulfonyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 16 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 216971-34-0 CAPLUS
CN Benzanide, 2-chloro-N-[2-hydroxy-3-[{(4-methoxyphenyl)sulfonyl]{2-methylpropyl}anino]-1-[phenylmethyl)propyl}- (9CI) (CA INDEX NAME)

RN 216871-40-8 CAPLUS
CN Benzamide, 2-ethyl-N-[2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl][2-methylpropyl]amino]-1-(phenylmethyl)propyl]- [9CI) (CA INDEX NAME)

RN 216871-46-4 CAPLUS

Benzamide, N-[2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-meth)propyl)amino]-1-(phenylmethyl)propyl]-2-(1-methylethyl)- (9CI) [CA INDEX NAME]

RN 216871-50-0 CAPLUS
CN Benzamide, N-[2-hydroxy-3-{[{4-methoxyphenyl}sulfonyl}{2-methylpropyl}amino]-1-{phenylmethyl}propyl]-2,6-dimethyl- (9CI) (CA INDEX NAME)

L4 ANSWER 16 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 216871-72-6 CAPLUS
Senzamide, N-[2-hydroxy-3-{[(4-methoxyphenyl)sulfonyl](2methylpropyl)amino]-1-{phenylmethyl)propyl}-2-[(methylsulfonyl)methyl](9C1) (CA INDEX NAME)

RN 216871-77-1 CAPLUS

Benzamide, N-[2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)smino]-1-(phenylmethyl)propyl]-3-[(methylsulfonyl)methyl]-(9CI) (CA INDEX NAME)

RN 216871-82-8 CAPLUS
Benzamide, N-[2-hydroxy-3-[{(4-methoxyphenyl)sulfonyl}(2-methylpropyl)amino]-1-(phenylmethyl)propyl}-4-{(methylsulfonyl)methyl](9C1) (CA INDEX NAME)

RN 216871-87-3 CAPLUS

ANSWER 16 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) Benzamide, N-[2-hydroxy-3-[(3-methylbutyl)[phenylsulfonyl]amino]-1-(phenylmethyl)propyl]-[(9C1) (CA NIDEX NAME)

216871-92-0 CAPKUS
Benzamide, N-[2-hydroxy-3-{(2-methylpropyl)(phenylsulfonyl)amino}-1-(phenylmethyl)propyl]- (9CI) (CA INDEX NAME)

216871-97-5 CAPLUS
Benzamide, N-[2-hydroxy-3-{[[4-methoxyphenyl]aulfonyl](2-methylpropyl)aulno]-1-[phenylmethylpropyl]- [9CI] (CA INDEX NAME)

216872-02-5 CAPLUS
Benzamide, N-[2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl)-2-methyl- (9CI) (CA INDEX

216872-08-1 CAPLUS
Benzamide, N-[2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl)-3-methyl- [9CI) (CA INDEX

ANSWER 16 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

REFERENCE COUNT:

45 THERE ARE 45 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT L4 ANSWER 16 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN

216872-13-8 CAPLUS
Benzamide, N-[2-hydroxy-3-[{(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-4-methyl- (9CI) (CA INDEX

(Continued)

216872-20-7 CAPLUS
Benzamide, N-[2-hydroxy-3-[{(4-methoxyphanyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-2-methoxy- (9CI) (CA INDEX NAME)

216872-28-5 CAPLUS
Benzamide, N-[2-hydroxy-3-{[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-3-methoxy- (9CI) (CA INDEX NAME)

216872-34-3 CAPLUS
Benzamide, N-[2-bydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)aminoj-1-(phenylmethylpropyl)-4-methoxy- (9CI) (CA INDEX

L4 ANSWER 17 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN ACCESSION NUMBER: 1999:811207 CAPLUS DOCUMENT NUMBER: 132:49801

132:49801
Preparation of 1-acylamino-3-(N-arylaulfonyl-N-alkoxyamino)-2-hydroxypropanes and related compounds as inhibitors of HIV aspartyl protease.
Sherrill, Ronald George: Hale, Michael R.;
Spaltenstein, Andrews Furfine, Eric Steven; Andrews, Clarence Webster, III; Loven, Gregory Thomas Vertex Pharmaceuticals Incorporated, USA
PCT Int. Appl., 344 pp.
CODEN: PIXXO2
Patent

INVENTOR(S):

PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE:

English LANGUAGE:

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

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wn	9965						1999	1223		<u>سم</u> 1	999-1	1513	744		1	9990	617
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US 2000-731129 A3 20001206

OTHER SOURCE(5): MARPAT 132:49801

ABMN(Gx)CHDCHOR7CH2ND'SOZE (A = H, (substituted) Ht, R1kt, R1kt, Ak = alkyl; Ht = cycloalkyl, cycloalkenyl, (substituted) aryl, heterocyclyl; R1 = CO, SOZ, COCO, OZC, NRZSOZ, etc.; B = null, NRZC(R3)ZCO; x = 0, 1; RZ = H, (substituted) Ht, alkyl; R3 = h, (substituted) C, alkyl, alkenyl; 0 = (substituted) carbocyclyl; heterocyclyl; D' = ORIO, N:R1O, N(R1O)R1R3; E = Ht, OHt, OR3, NRZR3, (substituted) 2 alkyl, alkenyl; etc.; R7 = H, (CHZO)RY(ZM)(:X)Z(M), etc.; M = null; H, Li, Na, K, Mg, Ca, Ba, alkyl, alkenyl; etc.; X = O, S; Y = P, S; Z = O, S, N(R2)Z, H], were prepared as inhibitors of HIV aspartyl

ANSVER 17 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) protease (no data). Thus, 3-H2NCGH4SOZNHOCH42 (prepn. given), tert-Bu N-(15)-1-[(25)-oxiran-2-y1]-Z-phenylethylcarbanate, and phosphazene base P4 tert-Bu were stirred in 8 h in THF to give 951 tert-Bu N-(15, ZR)-3-[((3-aninophenyl)sulfonyl] (isopropoxy) anino)-1-benzyl-2-hydroxypropylcarbanate.
252871-13-99 252871-56-09 252871-62-89
RL: BAC [Biological activity or effector, except adverse): BSU (Biological study, unclassified): SPN (Synthetic preparation): THU (Therapeutic use): BIOL (Biological study): PREP (Preparation): USES (Uses) (preparation of 1-acylanino-3-(N-arylsulfonyl-N-alkoxyanino)-2-hydroxypropanes and related compds. as inhibitors of HIV aspartyl protease) 252871-13-9 CAPLUS
Benzanide, N-(15, ZR)-3-[(cyclopentyloxy)](4-methoxyphenyl)sulfonyl)anino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

252871-56-0 CAPLUS
Benzamide, 3-hydroxy-N-{(15,2R)-2-hydroxy-3-{((4-methoxyphenyl)sulfonyl)(1-methylpropoxy)amino]-1-(phenylmethyl)propyl)-2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

252871-62-8 CAPLUS
Benzamide, 3-hydroxy-N-[{15,2R}-2-hydroxy-3-[{(4-methoxyphenyl)sulfonyl][(tetrahydro-ZH-pyran-4-yl)oxy]amino]-1-(phenylmethyl)propyl]-2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 18 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1999:684298 CAPLUS
131:286266

New substituted benzamides: preparation and application
Lubisch, Wilfried; Moeller, Achim; Treiber, Hans-Joerg; Knopp, Monika
BASF A.-G., Germany
SOURCE: Ger. Offen., 18 pp.
COODN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
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FAMILY ACC. NUM. COUNT: 1

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

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CA	232843	0		AA		1999	1028		CA	19	99-	2328	430		1	9990	419
WO	995429	3		A1		1999	1028		WO	19	99-	EP26	17		1	9990	419
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	5	I, FI,	RO														
JP	200251	2220		TZ		2002	0423		JP	20	00-	5446	34		1	9990	419
US	643692	5		B1		2002	0820		บร	20	00-	6476	73		2	0001	.003
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NO	200000	5265		Α		2000	1019		NO	20	00-	5265			2	0001	019
HR	200000	0777		A1		2001	0630		HR	20	00-	777			2	0001	115
ZA	200000	6758		Α		2001	1212		ZA	20	00-	6758			2	0001	120
PRIORIT	Y APPLN	. INFO	. :						DE	19	98-	1981	7461		A 1	9980	420
													17				
OTHER S	OURCE (S):		MARI	PAT.	131:	2862										
GI																	

CH₂Ph

AB Benzamides such as (S)-1 are prepared as inhibitors of enzymes, e. calpains and cathepsin B. Thus, (S)-1 is prepared in 80% yield by oxidation of

ation of the corresponding alc. with pyridine-SO3 complex in the presence of Et3N in DMSO. The alc. is prepared from 3-(2-naphthylsulfonamido)benzoic acid and N-[3(5)-amino-4-phenylbutan-2(R,5)-ol-1-yl]benzenesulfonamide. At 1 µM. I showed 5501 inhibition of calpain I. 246047-38-1P 246047-46-1P

RL: RCT (Reactant): SPN (Synthetic preparation): PREP (Preparation): RACT

L4 ANSWER 17 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

ANSWER 18 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
(Reactant or reagent)
(benzamide deriv. enzyme inhibitors)
246047-38-1 CAPLUS
Benzamide, N-[(15)-2-hydroxy-1-(phenylmethyl)-3[(phenylaulfonyl) amino] propyl]-3-[(2-naphthalenylsulfonyl) amino]- (9CI)
(CA INDEX NAME)

Absolute Stereochemistry.

246047-46-1 CAPLUS
Benzamide, N-[(1S)-Z-hydroxy-1-(phenylmethyl)-3[(phenylauflonyl)amino]propyl]-2-[(1E)-2-(4-pyridinyl)ethenyl]- (9CI) (CA
INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

ANSWER 19 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN CSSION NUMBER: 1999:670116 CAPLUS MENT NUMBER: 131:295568 ACCESSION NUMBER:

DOCUMENT NUMBER:

131:295568
e- and B-Amino acid hydroxyethylamino
sulfonamides useful as retroviral protease inhibitors
Vazques, Michael L.: Mueller, Richard A.: Talley, John
J.: Getman, Daniel P.: Decrescenzo, Gary A.: Freskos,
John N.: Bertenshaw, Deborah E.: Heintz, Robert M.
G. D. Searle and Co., USA
U.S., 130 pp., Cont.-in-part of U. S. 204,827.
CODEN: USXXAM
Patent
English
6 INVENTOR(S):

PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE:

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO.					KIN	D	DATE	;		APF	LIC	AT I	ON I	NO.			DATE				
						-															
US 5968942 WO 9404492				A		1999	1019		US	199	4-2	944	68			1994	08	23			
¥0	9404	492			A1		1994	0303		¥0	199	3-U	578	14			1993	08	24		
	V:	AT.	AU.	BB.	BG.	BR.	BY.	CA,	CH,	CZ	. D	E.	DK.	ES,	FI.	GE	. HU		JP.		
		KP.	KR.	KZ.	LK.	LU.	MG.	MOI.	MV.	NI	. N	ο.	NZ.	PL.	PT.	RC	. RU	. :	SD.		
		SE.	SK.	UA.	US.	AJ1		-			-		-				-	•			
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EP	8102	09			A2		1997	1203		ΕP	199	7-1	134	34			1993	08	24		
EP	8102 8102	09			A3		1998	1202													
EP	8102	09			B1		2002	0605													
	R:	AT.	BE,	CH,	DE,	DK.	ES,	FR,	GB,	GF	. I	т.	LI.	LU,	NL.	SE	. PT		ΙE		
US	6060	476			A		2000	0509		บร	199	4-2	048	27			1994	03	02		
US	6248	775			B1		2001	0619		US	199	9-2	880	80			1999	04	08		
บร	2002 6417	0523	99		A1		2002	0502		US	200	1-7	982	55			2001	03	05		
บร	6417	387			B2		2002	0709													
US	2003	1913	19		A1		2003	1009		บร	200	2-1	570	19			2002	05	30		
US	6646	010			B2		2003														
US	6924	286			B1		2005 2005	0802		US	200	3-6	333	76			2003	08	04		
us	2005	2671	71		A1		2005	1201		US	200	5-1	109	43			2005	04	21		
PRIORIT	Y APP	LN.	INFO	.:						บร	199	2-9	349	B 4		B2	1992	80	25		
PRIORIT										ro.	199	3-U	578	14		A2	1993	08	24		
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										บร	199	3-1	109	11		A2	1993 1994	08	24		
										US	199	4-2	944	68		A1	1994	08	23		
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										บร	200	1-7	982	55		λl	2001	03	05		
																	2002				
										US	200	3-6	333	76		A1	2003	08	04		

OTHER SOURCE(S): MARPAT 131:295568

AB o- And B-Amino acid hydroxyethylamino sulfonamide compds. are effective as retroviral protease inhibitors, and in particular as inhibitors of HIV protease, as well as effective in preventing the growth of retroviruses in a solution General and specific schemes for chemical synthesis of the sulfonamide-containing hydroxyethylamine inhibitor compds. are described. Seventy-eight such compds. were tested for cytotoxicity and antiviral efficacy (ICSO, ECSO, and TDSO values at the nanomolar level are tabulated).

IT 15905-78-4P 159055-76-2P 15905-77-3P 15905-78-4P 165280-38-0P 169280-60-8P 169280-65-3P 169280-77-5P 169280-74-4P 169280-73-5P 169280-76-6P

ANSWER 19 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

 $\begin{tabular}{ll} 169280-38-0 & CAPLUS \\ Benzamide, & -{dimthylamino}-N-{\{1S,2R\}-2-hydroxy-3-\{\{4-methoxyphenyl\}sulfonyl\}(2-methylpropyl)amino}-1-{phenylmethyl}propyl]-2-methyl- (GCI) & (CA INDEX NAME) \\ \end{tabular}$

Absolute stereochemistry

169280-40-4 CAPLUS
Benzamide, 3-hydroxy-N-[(15,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethylpropyl)-2-methyl- (9CI) (CA INDEX

Absolute stereochemistry.

169280-44-8 CAPLUS 6-Benzothiazolecarboxamide, 2-amino-N-[(15,2R)-2-hydroxy-3-[((4-methoxyphenyl)sulfonyl)(2-methylpropyl)amino]-1-(phenylmethyl)propyl]-(9C1) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 19 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
RL: BAC (Biological activity or effector, except adverse): BSU (Biological
study, unclassified): SFN (Synthetic preparation): THU (Therapeutic use):
BIOL (Biological study): PREP (Preparation): USES (Uses)
(a- and P-amino acid hydroxyethylamino sulfonamides useful
as retroviral protease inhibitors)
159005-75-1 CAPLUS
Benzamide, N-[(15, 2R)-2-hydroxy-3-[((4-methoxyphenyl)sulfonyl)(2methylpropyl)amino]-1-(phenylmethyl)propyl)-2,6-dimethyl- (9CI) (CA INDEX
NAME)

Absolute stereochemistry.

159005-76-2 CAPLUS
Benzamide, N-[(15,2R)-2-hydroxy-3-[{(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-2-methyl- (9CI) (CA INDEX NAME)

159005-77-3 CAPLUS
Benzamide, 2-ethyl-N-[(15,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-[phenylmethyl)propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

159005-78-4 CAPLUS
Benzamide, 2-chloro-N-((15,2R)-2-hydroxy-3-(((4-methoxyphenyl)sulfonyl)(2-methylpropyl)amino|-1-(phenylmethylpropyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 19 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

169280-59-5 CAPLUS
Benzamide, N-[(15,2R)-3-[[(4-aminophenyl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl- (SCI) (CA INDEX NAME)

Absolute stereochemistry.

169280-60-8 CAPLUS
Benzamide, N-[(15,2R)-3-[((4-aminophenyl)sulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl)-3-hydroxy-2-methyl- (9C1) (CA INDEX NAME)

Absolute stereochemistry.

169280-65-3 CAPLUS
Benzamide, N=[(15,2R)-3-[[(3-aminophenyl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-3-hydroxy-2-methyl- (9C1) (CA INDEX NAME)

169280-70-0 CAPLUS
Benzamide, 3-anino-N-[(1s,2R)-3-[([2,3-dihydro-5-benzofuranyl)sulfonyl](2-bethylpropyl)anino]-2-bydroxy-1-(phenylmethyl)propyl]-2-bethyl- (9CI) (CA INDEX RAME)

169280-74-4 CAPLUS
Benzamide, 3-amino-N-[{15,2R}-3-{{1,3-benzodioxol-5-ylsulfonyl}}(2-methylpropyl)amino}-2-bydroxy-1-(phenylmethyl)propyl]-2-methyl- (9CI) (CAINDEX NAME)

169280-75-5 CAPLUS
Benzamide, N-[(15,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-4-hydroxy-2-methyl-(9Cl) (CA INDEX NAME)

169280-76-6 CAPLUS
Benzamide, N-([15,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino|-2-hydroxy-1-(phenylmethyl)propyl]-3-hydroxy-2-methyl-(SCI) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 19 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

169281-07-6F 169281-08-7F 169281-09-8F 169281-10-1F 169281-11-2F 169281-11-2F 169281-12-3F 169281-12-3F 169281-12-3F 169281-12-3F 169281-13-4F 169281-13-4F 169281-13-4F 169281-13-4F 169281-3F 1692 216972-28-5p 216972-34-3p 247047-40-9p
RL: SPN (Synthetic preparation): PREP (Preparation)
(α- and β-amino acid hydroxyethylamino sulfonamides useful as retroviral protease inhibitors)
169281-07-6 CAPLUS
Benzamide, N-{(15, ZR)-2-hydroxy-3-{[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethylpropyl)-2-methyl-3-nitro-(9CI) (CAINDEX NAME)

Absolute stereochemistry.

169281-08-7 CAPLUS
Benzamide, 3-amino-N-[(15,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-2-methyl- (9Cl) (CA INDEX NAME)

Absolute stereochemistry.

169281-09-8 CAPLUS Benzamide, 3-(dimethylamino)-N-[(15,2R)-2-hydroxy-3-{{(4-

ANSWER 19 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN

169280-39-1P 169280-77-7P 169280-78-8P
RL: RCT (Reactant): SPN (Synthetic preparation): PREP (Preparation): RACT (Reactant or reagent)
(a- and P-amino acid hydroxyethylamino sulfonamides useful as retroviral protease inhibitors)
169280-39-1 CAPLUS
Benzamide, N-{(15,2R)-2-hydroxy-3-[[(4-hydroxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl)-2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

169280-77-7 CAPLUS
Benzamide, 4-amino-N-[(15,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-2-methyl- (9CI) (CA INDEX NAME)

169280-78-8 CAPLUS
Benzamide, N-{[15,2R]-2-hydroxy-3-{[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-2-methyl-4-nitro-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 19 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) methoxyphenyl) sulfonyl] (2-methylpropyl) amino] -1-(phenylmethyl) propyl] -2-methyl-(9C1) (CA INDEX NAME)

Absolute stereochemistry.

169281-10-1 CAPLUS
Benzamide, N-{(15,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-2-methyl-5-nitro-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

Absolute stereochemistry.

169281-12-3 CAPLUS
Benzamide, 5-(dimethylamino) -N-[[15,2R)-2-hydroxy-3-[[4-methoxyphenyl] sulfonyl](2-methylpropyl) amino]-1-(phenylmethyl)propyl]-2-methyl-(9CI) (CA INDEX NAME)

RN 216871-08-8 CAPLUS
CN HH-Indole-5-carboxamide, N-{2-hydroxy-3-{{(4-methoxyphenyl)sulfonyl}{2-methylpropyl)a-ino|-1-{phenylnethyl)propyl}- (9C1) (CA INDEX NAME)

RN 216871-14-6 CAPLUS
CN Benzamide, N-[2-hydroxy-3-{[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino}-1-{phenylmethyl)propyl}-2,4-dimethyl- (9CI) (CA INDEX NAME)

RN 216871-19-1 CAPLUS
CN Benzamide, N-{2-hydroxy-3-{{{4-methoxyphenyl}sulfonyl}{2-methylpropyl}amino}-1-{phenylmethyl)propyl}-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 216871-34-0 CAPLUS
CN Benzamide, 2-chloro-N-[2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl][(2-methylpropyl)amino]-1-(phenylmethyl)propyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 19 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 216871-60-2 CAPLUS

CN Benzamide, N-[2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-2-(methylsulfonyl)- (9CI) (CA INDEX NAME)

RN 216871-65-7 CAPLUS
CN Benzamide, N-[2-hydroxy-3-[{(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-3-(methylsulfonyl)- (9CI) (CA INDEX NAME)

RN 216871-68-0 CAPLUS
CN Benzamide, N-[2-hydroxy-3-[{(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-4-(methylsulfonyl)- (9CI) (CA INDEX NAME)

RN 216071-72-6 CAPLUS
Senzamide, N-[2-hydroxy-3-[{(4-methoxyphenyl)sulfonyl}(2-methylpropyl)amino]-1-(phenylmethyl)propyl}-2-{(methylsulfonyl)methyl}-(9C1) (CA INDEX NAME)

L4 ANSWER 19 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RNI 216971-40-8 CAPLUS
CN Benzanide, 2-ethyl-N-[2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl][2-methylpropyl]amino]-1-[phenylmethyl)propyl]- (9C1) (CA INDEX NAME)

RN 216871-46-4 CAPLUS

CN Benzamide, N-{2-hydroxy-3-{{(4-methoxyphenyl)sulfonyl}{(2-methylpropy)1}amino}-1-(phenylmethyl)propyl]-2-(1-methylethyl)- (9CI) (CA NNDEX NAME)

RN 216871-50-0 CAPLUS
CN Benzamide, N-[2-hydroxy-3-{{(4-methoxyphenyl)sulfonyl]{2-methylpropyl}amino}-1-{phenylmethyl)propyl}-2,6-dimethyl- (9CI) (CA INDEX NAME)

RN 216871-56-6 CAPLUS
CN Benzamide, N-{2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-2,3-dimethyl- (9CI) (CA INDEX NAME)

L4 ANSWER 19 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 216971-77-1 CAPLUS
CN Benzamide. N-{2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)aminoj-1-(phenylmethyl)propyl]-3-[(methylsulfonyl)methyl]-(9C1) (CA INDEX NAME)

RN 216871-82-8 CAPLUS

Benzamide, N-[2-hydroxy-3-[{(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino|-1-(phenylmethyl)propyl]-4-[(methylsulfonyl)methyl](9C1) (CA INDEX NAME)

RN 216871-87-3 CAPLUS
CN Benzamide, N-[2-hydroxy-3-[(3-methylbutyl)(phenylsulfonyl)amino]-1(phenylmethyl)pcopyl]- (9CI) (CA INDEX NAME)

RN 216871-92-0 CAPLUS
CN Benzanide, N-[2-hydroxy-3-[(2-methylpropyl)(phenylsulfonyl)amino]-1(phenylmethyl)propyl]- (9CI) (CA INDEX NAME)

ANSWER 19 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

216871-97-5 CAPLUS
Benzamide, N-{2-hydroxy-3-{{{4-methoxyphenyl}sulfonyl}{2-methylpropyl}anino}-1-{phenylmethyl)propyl}- (9CI) (CA INDEX NAME)

216872-02-5 CAPLUS
Benzamide, N-[2-hydroxy-3-[[(4-methoxypheny1)sulfony1]{2-methylpropy1}amino]-1-(phenylmethyl)propy1]-2-methyl- (9CI) (CA INDEX NAME)

216872-08-1 CAPLUS
Benzanide, N-[2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)smino]-1-(phenylmethyl)propyl]-3-methyl- (9CI) (CA INDEX NAME)

216872-13-8 CAPLUS
Benchizinide, N-[2-bydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methoxyphenyl)amino]-1-(phenylmethyl)propyl]-4-methyl- (9CI) (CA INDEX

L4 ANSWER 19 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

REFERENCE COUNT:

THERE ARE 44 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT 44

L4 ANSWER 19 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

216872-20-7 CAPLUS
Benzamide, N-[2-hydroxy-3-{[{4-methoxyphenyl} sulfonyl] {2-methylpropyl}amino]-1-(phenylmethyl)propyl}-2-methylpropyl)amino]-1-(phenylmethyl)propyl}-2-methylpropyl) (CA INDEX

216872-28-5 CAPLUS
Benzamide, N-[2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-3-methoxy- (9CI) (CA INDEX NAME)

216972-34-3 CAPLUS
Benzamide, N-[2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-4-methoxy- (9CI) (CA INDEX

247047-48-9 CAPLUS Benzamide, N-(2-hydroxy-1-(phenylmethyl)-3-((phenylmethyl)(phenylsulfonyl) amino)proyl]- (9C1) (CA INDEX NAME)

L4 ANSWER 20 OF 24 CAPLUS COPYRIGHT 2005 ACS ON STN ACCESSION NUMBER: 1998:799692 CAPLUS DOCUMENT NUMBER: 130:38712

TITLE:

130:38712
Preparation of α- and β-amino acid
hydroxyethylamino sulfonamides useful as retroviral
protease inhibitors
Vazquez, Michael L.: Mueller, Richard A.: Talley, John
J.: Getman, Daniel: Decrescenzo, Gary A.: Freskos,

INVENTOR(S):

John N.
G.D. Searle and Co., USA
U.S., 67 pp., Cont.-in-part of U.S. Ser. No. 934,984,

PATENT ASSIGNEE(S): SOURCE:

abandoned. CODEN: USXXAM Patent English 6 DOCUMENT TYPE: LANGUAGE:

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

APPLICATION NO. PATENT NO. KIND DATE DATE US 2003-633376 US 1992-934984 EP 1993-923714 US 1993-110911 WO 1993-US7814 20030804 B2 19920825 A3 19930824 A 19930824 A2 19930824 PRIORITY APPLN. INFO.:

ANSWER 20 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN US 1994-204827 US 1994-294468 WO 1994-US9139 US 1995-47582468 US 1995-485524 US 1995-485524 US 1999-2800 US 2001-798255 (Continued) A 19940302 A1 19940823 W 19940823 A1 19950607 B1 19950607 A1 19990408 A1 20010305 A1 20020530 US 2002-157019

US 2002-157019 Al 20020530

OTHER SOURCE(S): MARPAT 130:38712

Amino acid hydroxyethylanino sulfonamide compds. PINHCHR2CH(OH)CH2NR3SO2R4
[Pl = alkowycarbonyl, arkloxycarbonyl, alkanoyl, cycloalkylackonyl, cycloalkylackonyl, cycloalkylackonyl, cycloalkylackonyl, cycloalkylackonyl, cycloalkylackonyl, argivarycarbonyl, heterocyclylackoycarbonyl, heterocyclylackoycarbonyl, heterocyclylackoycarbonyl, heterocyclylackoycarbonyl, heterocyclylackoycarbonyl, heterocyclylackoycarbonyl, heterocyclylackoycarbonyl, heterocyclylackyl, argiv, cycloalkylackyl, cycloalkylalkyl, cycloalkylackyl, alkonyl, alkonyl, hydroxyalkyl, alkonyl, alkonyl, cycloalkyl, argiv, raslkyl, heterocyclylakyl, argiv, raslkyl, heterocyclylakyl, argiv, raslkyl, heterocyclylakyl, argiv, aralkyl, heterocyclylakyl, argiv, raslkyl, heterocyclylakyl, argiv, aralkyl, heterocyclyl, heterocyclylakyl, argiv, aralkyl, heterocyclyl, heterocyclyl, argiv, aralkyl, alkonyl, cycloalkyl, heterocyclyl, heterocyclyl, argiv, aralkyl, argiv, argiv, argiv, argiv, argiv, argiv, argiv, OTHER SOURCE(S): MARPAT 130:39712

216972-28-59 216972-34-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of amino acid hydroxyethylamino sulfonamides useful as retroviral protease inhibitors)

15905-75-1 CAPLUS

Benzamide, N-[(15,28)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl]{2-methylpropyl)amino]-1-(phenylmethyl)propyl]-2,6-dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

159005-76-2 CAPLUS
Benzamide, N-[(15,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-

ANSWER 20 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

169281-06-5 CAPLUS
Benzamide, N=[(15,2R)-2-hydroxy-3-[(3-methylbuty1)(phenylsulfony1)amino]-1(phenylmethyl)propy1]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

216871-08-8 CAPLUS
IH-Indole-5-catholaride, N-[2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl)(2-methylpropyl)aminoj-1-(phenylmethyl)propyl)- (9CI) (CA INDEX NAME)

216871-14-6 CAPLUS
Benzamide, N-{2-hydroxy-3-{[[4-methoxyphenyl]sulfonyl]{2-methylpropyl]amino|-1-(phenylmethylpropyl]-2,4-dimethyl-(9CI) (CA INDEX

216871-19-1 CAPLUS
Benzamide, N-(2-hydroxy-3-{[(4-methoxyphenyl)sulfonyl](2-meth)plropyl)amino|-11-(phenylmethyl)propyl|-2,5-dimethyl-(9CI) (CA INDEX

ANSWER 20 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) sethylpropyl) amino]-1-(phenylmethyl)propyl]-2-methyl- (9CI) (CA INDEX

Absolute stereochemistry.

159005-77-3 CAPLUS
Benzamide, 2-ethyl-N-{(15,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino}-1-(phenylmethyl)propyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

159005-78-4 CAPLUS
Benzamide, 2-chloro-N-{(15,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino|-1-(phenylmethylpropyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

159006-42-5 CAPLUS
Benzamide, N-[(15,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyllpropyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 20 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

216871-34-0 CAPLUS
Benzamide, 2-chloro-N-[2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]- (9CI) (CA INDEX NAME)

216871-40-8 CAPLUS
Benzamide, 2=chyl-N-[2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)aminol-1-(phenylmethyl)propyl]- (9C1) (CA INDEX NAME)

216871-46-4 CAPLUS
Benzamide, N-[2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl]](2-methylpropyl)smino]-1-(phenylmethyl)propyl]-2-(1-methylethyl)- [9CI] (CAINDEX NAME)

216871-50-0 CAPLUS
Benzamide, N-[2-hydroxy-3-{[[4-methoxyphenyl]sulfonyl](2-methylpropyl]amino|-1-(phenylmethylpropyl)-2.6-dimethyl-[9CI) (CA INDEX

216871-56-6 CAPLUS
Benzamide, N-[2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-2,3-dimethyl- (9CI) (CA INDEX NAME)

216871-60-2 CAPLUS
Benzamide, N-[2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-2-(methylsulfonyl)- (9CI) (CAINDEX NAME)

216871-65-7 CAPLUS
Benzamide, N-[2-hydroxy-3-[[(4-methoxypheny1)sulfony1](2-methylpropy1)amino]-1-(phenylmethyl)propy1]-3-(methylsulfony1)- (9CI) (CA

- 216871-68-0 CAPLUS
 Benzamide, N-[2-hydroxy-3-{{(4-methoxyphenyl)sulfonyl}(2-methylpropyl)amino]-1-{phenylmethyl)propyl]-4-{methylsulfonyl}- (9CI) (CA INDEX NAME)
- ANSWER 20 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) Benzamide, N-(2-hydroxy-3-[(3-methylbutyl)(phenylsulfonyl)aminoj-1-(phenylsulfvhyl)propyl]- (SCI) (CA INDEX NAME)

216971-92-0 CAPLUS Benzamide, N-[2-hydroxy-3-[(2-methylpropyl) (phenylaulfonyl) amino]-1-(phenylmethyl)propyl]- (9C1) (CA INDEX NAME)

216871-97-5 CAPLUS
Benzamide, N-[2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]- (9CI) (CA INDEX NAME)

- 216872-02-5 CAPLUS
 Benzamide, N-[2-hydroxy-3-[[(4-methoxypheny1)sulfony1][2-methylpropy1]amino]-1-(phenylmethyl)propy1]-2-methyl- (9CI) (CA INDEX NAME)

216872-08-1 CAPLUS
Benzamide, N-[2-bydroxy-3-[[(4-methoxyphenyl) sulfonyl] (2-methylpropyl) aminoj-1-(phenylmethyl)propyl)-3-methylp- (9CI) (CA INDEX

L4 ANSWER 20 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

216871-72-6 CAPLUS
Benzamide, N-[2-bydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-2-[(methylsulfonyl)methyl]-(9CI) [CA INDEX NAME]

216871-77-1 CAPLUS
Benzamide, N-{2-hydroxy-3-{[(4-methoxyphenyl)sulfonyl]{2-methylpropyl}amino|-1-(phenylmethyl)propyl}-3-{(methylsulfonyl)methyl}-{9Cl} (CA INDEX NAME)

216871-82-8 CAPLUS
Benzamide, N-[2-hydroxy-3-{[[4-methoxyphenyl]sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-4-[(methylsulfonyl)methyl][SCI] [CA INDEX NAME]

- RN 216871-87-3 CAPLUS
- ANSWER 20 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

- 216872-13-8 CAPLUS
 Benzamide, N-[2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)smino]-1-[phenylmethyl)propyl]-4-methyl- [9CI) (CA INDEX

- 216872-20-7 CAPLUS
 Benzamide, N-[2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-2-methoxy- (9CI) (CA INDEX

216972-20-5 CAPLUS
Benzamide, N-[2-hydroxy-3-{{(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-3-methoxy- (9CI) (CA INDEX NAME)

- 216872-34-3 CAPLUS
 Benzamide, N-{2-hydroxy-3-[[{4-methoxyphenyl}sulfonyl}{2-methylpropyl}amino}-1-(phenylmethyl)propyl]-4-methoxy- (9CI) (CA INDEX NAME)

ANSWER 20 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

REFERENCE COUNT:

THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 21 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) chain (deriv.), etc.; R2 = halo, NO2, cyano, CF3, (substituted) alkyl, cycloalkyl, cycloalkyl, aryl, heteroaryl, etc.; R3 = alkyl, alkenyl, alkynyl, haloalkyl, hydroxyalkyl, alkoxyalkyl, alkylthioalkyl, alkylthioalkyl, alkylthioalkyl, alkylthioalkyl, alkylthioalkyl, alkylthioalkyl, aryl, aralkyl, alkenyl, alkynyl, haloalkyl, hydroxyalkyl, alkoxyalkyl, aryl, aralkyl, heteroaryl, heteroaryl, etc.; R4 = alkyl, alkenyl, heteroaryl, heteroaryl, alkoxyalkyl, aryl, aralkyl, heteroaryl, heteroaryl, alkoxyalkyl, aryl, ing R10, R11 = H, alkyl, hydroxyalkyl, alkoxyalkyl, cycloalkyl, heterocycloalkyl, aryl, aralkyl, heteroaryl, thioalkyl, cycloalkyl, heterocycloalkyl, aryl, aralkyl, heteroaryl, thioalkyl, alkylthioalkyl, etc.; R10, R11 = H, alkyl, hydroxyalkyl, alkoxyalkyl, cycloalkyl, heterocycloalkyl, aryl, aralkyl, heterocyclo, heterocycloalkyl, aryl, aralkyl, heteroaryl, thioalkyl, alkylthioalkyl, etc.; R10, R11 = H, alkyl, hydroxyalkyl, alkoxyalkyl, cycloalkyl, hydroxybenzotriazole, EDC, and 3(S)-amino-1-[N-(2-methylpropyl)-Y-(4-methowphylpaulfonyl) amino]-4-phenyl-2(R)-butanol (prepn. given) to give title compd. (1). I inhibited HIV protease with ICSO = 10 nM.

169280-59-59- 169280-60-69-8169280-65-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant) or teagent)
(preparation of bis(sulfonamido hydroxyethylamino peptide analogs as retroviral protease inhibitors)

169280-59-55 CAPLUS

Benzamide, N-[(15, 2R)-3-[(4-aminophenyl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

169280-60-8 CAPLUS
Benzamide, N-[(15,2R)-3-{{(4-aminophenyl)sulfonyl}(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl}-3-hydroxy-2-methyl- (9CI) (CA INDEX NAME)

169280-65-3 CAPLUS Benzamide, N-[(15,2R)-3-[([3-aminophenyl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-3-hydroxy-2-methyl- (9C1) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 21 OF 24 CAPLUS COPYRIGHT 2005 AC5 on STN ACCESSION NUMBER: 1996:572053 CAPLUS DOCUMENT NUMBER: 125:222459 Preparation of the company of the compa 125:222459
Preparation of bis(sulfonamido hydroxyethylamino peptide analogs as retroviral protease inhibitors. Freskos, John N.: Getman, Daniel P.: Talley, John J.: Sikorski, James A. G.D. Searle and Co., USA PCT Int. Appl., 160 pp. CODEN: PIXXO2 INVENTOR(S): PATENT ASSIGNEE(S): SOURCE: DOCUMENT TYPE: Patent English 2

	PAT	ENT	NO.			KIN	D	DATE			APP	LICAT	ION	NO.		D	ATE		
			2287																
		W:	AL,	AM,	AT,	AU,	AZ,	BB,	BG,	BR,	BY,	CA,	CH,	CN,	CZ,	DE.	DK.	EE,	
			ES,	FI,	GB,	GE,	HU,	IS,	JP,	KE.	KG.	KP.	KR,	KZ.	LK,	LR,	LS,	LT,	
			LU,	LV.	MD,	MG,	MK,	MN.	HW.	MX,	NO.	NZ.	PL,	PT.	RO,	RU,	SD,	SE,	
			SG,	SI															
		RV:	KE,	LS,	MV,	SD,	52.	UG,	AT,	BE.	CH,	DE.	DK.	ES.	FR.	GB,	GR,	IE,	
			IT,	LU.	HC.	NL.	PT.	SE.	BF.	BJ,	CF.	cc,	CI.	CH.	GA,	GN,	ML,	MR,	NE
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	ΑU	964	7008			Al		1996	0807		AU :	1996-	4700	9		1	9960	118	
	ΕP	8044	128			A1		1997	1105		EP :	1996-	9027	00		1	9960	118	
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			5558			A2		2005	1019		EP 2	2005-	1369	5		1	9960	118	
	ΕP	1586	5558			A3		2005	1026										
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	US	6143	3747 1036			A		2000	1107		US :	1998-	8750	25		1	9980	226	
	US	638	1036			B1		2002	0507		us :	-000	6358	96		2	0000	911	
	US	2003	0137 10637	51		A1		2003	0116		us a	2002-	7660	7		2	0020	219	
	US	2004	10637	71		A1		2004	0401		us a	2003-	4173	40		2	0030	417	
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											EP :	1996-	9027	00		A3 1	9960	118	
											WO :	1996-	U\$60	7	1	¥ 1	9960	118	
											US :	1998-	8750	25	- 4	A1 1	9980	226	
											us :	2000-	635B	96		A1 2	0000	911	
											บร ว	2002-	7660	7		A1 2	0020	219	
OTHER	SC	DURCE	E(S):			MAR	PAT	125:	2224	59									

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

OTHER SOURCE(S):

R10R11NSOw(CR7R0)tCHR1C(:Y)NR6CHR2CH(OH)CH2NR3SOxR4 [R1 = H, CH2SO2NH2, CH2SO2Me, CO2Me CONH2, alkyl, haloalkyl, heterocycloalkyl, amino acid side

ANSWER 21 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

L4 ANSWER 22 OF 24 CAPLUS COPYRIGHT 2005 ACS ON STN ACCESSION NUMBER: 1996:143659 CAPLUS DOCUMENT NUMBER: 124:288924

TITLE:

12::28924) sulfonamide HIV-1 Protease Inhibitors: Identification of the 2-Methylbenzoyl Moiety at P-2 Freskos, John N.; Bertenshaw, Deborah E.; Getman, Daniel P.; Heintz, Robert M.; Mischke, Brent V.; Blystone, Lisa W.; Bryant, Martin L.; Funckes-Shippy, Christine; Houseman, Kathyrn A.; et al. Departments Medicinal Chemistry Infectious Diseases, Searle Discovery Research, St. Louis, MO, 63198, USA Bioorganic & Medicinal Chemistry Letters (1996), 6(4), 445-50 (OODEN: BMCLER, ISSN. 0000 ACC. AUTHOR (S):

CORPORATE SOURCE: SOURCE:

PUBLISHER:

Bioorganic & Medicinal Chemistry Letters (1996), 6(4), 445-50

CODEN: EMCLES: ISSN: 0960-894X

Elisevier

UMENT TYPE: Journal

GUAGE: English

A potent low mol. weight series of HIV-1 protease inhibitors incorporating the (R)-(hydroxyethyl) sulfonanide isostere was discovered. The target compds. were derivs. of (R-(R-,S*)]-N-[2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl)[2-methylpropyl) amino]-1-(phenylmethyl)propyl]benzanide.

139005-76-2P 159003-77-3P 159005-78-4P.
Benzanide. 2-chloro-N-[2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl) amino]-1-(phenylmethyl)propyl], [R-(R*,S*)]-159006-43-5P. Benzanide.

N-[2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl) amino]-1-(phenylmethyl)propyl]-2-methylpropyl] 2-methylpropyl]-2-methylpropyllpro

175639-61-7P
RL: BAC (Biological activity or effector, except adverse): BSU (Biological study, unclassified): SPN (Synthetic preparation): BIOL (Biological study): PREP (Preparation)
([hydroxy][[aethoxyphenyl]sulfonyl](methylpropyl)amino](phenylmethyl)propyl]benzamides as HIV-1 protease inhibitors)
159005-76-2 CAPLUS
Benzamide, N-((15,2R)-2-hydroxy-3-[[44-methoxyphenyl]sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

159005-77-3 CAPLUS
Benzamide, 2-ethyl-N-[(15,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)sulfon)-1-(phenylmethyl)propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 22 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

Absolute stereochemistry.

Absolute stereochemistry.

Absolute stereochemistry.

L4 ANSWER 22 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

159005-78-4 CAPLUS
Benzamide, 2-chloro-N-{(15,2R)-2-hydroxy-3-{{(4-methoxyphenyl)sulfonyl}(2-methylpropyl)amino]-1-(phenylmethyl)propyl}- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

 $\label{lem:continuous} $$15906-33-4$ $$ CAPLUS $$ Benzamide, $N-\{2-hydroxy-3-[\{(4-methoxypheny1)sulfony1\}\{2-methylpropy1\}amino]-1-(phenylmethyl)propy1]-2-\{1-methylethyl)-, $$ [R-(R^*,S^*)]-$$ $$ (OCI NDEX NAME)$$

Absolute stereochemistry

159006-42-5 CAPLUS
Benzamide, N-[(15,2R)-2-hydcoxy-3-[[(4-methoxyphenyl)sulfonyl](2-meth)lpropyl)aminoj-1-(phenylsethyl)propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 22 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

169280-40-4 CAPLUS Benzamide, 3-hydroxy-N-[(15,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethylpropyl]-2-methyl- (9C1) (CA INDEX

Absolute stereochemistry

169280-77-7 CAPLUS
Benzamide, 4-amino-N-([15.2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)smlnoj-1-(phenylmethylpropyl)-2-methylpropyl) (CA INDEX

Absolute stereochemistry.

 $\label{lem:condition} \begin{tabular}{ll} 169281-08-7 & CAPLUS \\ Benzamide, & 3-amino-N-[(15,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methyl)propyl) amino]-1-(phenylmethyl)propyl]-2-methyl- (9CI) & (CA INDEX NAME) \\ \end{tabular}$

169281-11-2 CAPLUS
Benzamide, 5-amino-N-{(15,2R)-2-hydroxy-3-{{(4-methoxyphenyl) sulfonyl}(2-methylpropyl) amino]-1-(phenylmethyl)propyl}-2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

175659-58-2 CAPLUS
Benzamide, N-[2-hydroxy-3-{{(4-methoxyphenyl)sulfonyl}(2-methylpropyl)amino}-1-(phenylmethyl)propyl}-2-(trifluoromethyl)-,
{R-(R*,S*)}- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

175659-59-3 CAPLUS
Benthylpropyllamino|-1-(phenylmethyl)propyl|- (9C1) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 23 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN
1995:871984 CAPLUS
1995:871984 CAPLUS
123:279761

LE: Hydroxyethylamino sulfonamides useful as retroviral protease inhibitors

ANTOR(S): Vaxquez, Michael L.; Mueller, Richard A.; Talley, John J.; Getman, Daniel P.; Decrescenzo, Gary A.; Freskos, John N.; Bertenshaw, Deborah E.; Heintz, Robert M.
CE: CD. Searle and Co., USA; Monsanto Co.
PCT Int. Appl., 255 pp.
CODEN: PIXXD2

MENT TYPE: Patent ACCESSION NUMBER: DOCUMENT NUMBER: TITLE: INVENTOR (S): PATENT ASSIGNEE(S): SOURCE: DOCUMENT TYPE: Patent

English 6 FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO.						KIND DATE				APPL	ICAT		DATE						
WO 9506030					A1 19950302				1	WO 1	994-1	US91	19940823						
	W:	AM,	AT,	AU,	BB,	BG,	BR,	BY,	CA,	CH,	CN,	CZ,	DE,	DK,	ES,	FI,	GB,		
		GE,	HU,	JP,	KΕ,	KG,	KP,	KR,	KZ,	LK,	LT,	LU,	LV,	MD,	MG,	MN,	MW,		
		NL,	NO,	NZ,	PL,	PT,	RO,	RU,	SD,	SE,	SI,	SK,	TJ,	TT,	UA,	US,	UZ,	VN	
	R¥:	KE,	M¥,	SD,	AT,	BE,	CH,	DE,	DK,	ES,	FR.	GB,	GR,	IE,	IT,	LU,	MC,		
		NL,	PT,	SE,	BF,	BJ,	CF,	CG,	CI,	CM,	GA,	GN,	ML,	MR,	NE,	SN,	TD,	TG	
US	5843	946			A		1998	1201	- 1	US 1	993-	1109	11		1	9930	824		
US	6060	476			λ		2000	0509	- 1	US 1	994-	2048	27		1	9940	302		
AU	9476	697			A1		1995	0321		AU 1	994-	7669	7		1	9940	823		
EP	7156	18			A1		1996	0612		EP 1	994-	9271	62		1	9940	823		
EP	7156	18			В1		1998	1216											
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US	6046	190			Α		2000	0404	1	US 1	996-	5868	66		1	9960	124		
PRIORIT	Y APP	LN.	INFO	.:					- 1	US 1	993-	1109	11		A 1	9930	824		
									1	US 1	994-	2048	27		A 1	9940	302		
										US 1	992-	9349	B 4		B2 1	9920	825		
									1	WO 1	993-1	US78	14		A2 1	9930	824		
										US 1	994-	2048	72		B2 1	9940	302		
									,	WO 1	994-	112211	70		⊌ 1	9940	A 2 3		

R SOURCE(S): MARPAT 123:279761

Hyrowethylamino sulfonamide compds. AC(:Y)NR6CHR2CHONCH2NR35(:O)xR4 [1: R2-(substituted)alkyl, aryl, cycloalkyl, cycloalkylalkyl, aralkyl R3-H; R3,R4-R2, alkenyl, alkynyl, heterocycloalkyl, -aryl, -aralkyl, -cycloalkyalkyl; R6-H, alkyl; x-1,2; Y-O, S; A-RO, R; R-alkyl, alkenyl; (heterojaryl, cycloalkyl, cycloalkylalkyl, aralkyl, xR2, mono- or disubstituted amino, etc.] are effective as retroviral protease inhibitors, and in particular as inhibitors of HIV protease. Many inhibitors were prepared by (1) preparing an N-protected amino epoxide and OTHER SOURCE (S) :

inhibitors were prepared by (1) preparing an N-protected amino epoxide and reacting this with an amine and (3) preparing a sulfonamide by reacting with a sulfonyl chloride or sulfonyl anhydride in the presence of an acid scavenger. The amino function of the sulfonamide was then (4) deprotected and (5) reacted with a carboxylate. In vitro HIV protease asyays with these compds. revealed inhibitors with ICSO's as low as 1.4 nM, e.g. [15-[18-(5)*, 25*]]-1 (A-p-HeoCeld-CHCROCONHCH2CHMe; Y-O; R6-H; R2-benzyl; R3-3-aethylbutyl; x=2; R4-phenyl). 159005-75-1P 159005-75-2P 159006-77-2P 159005-77-3P 159005-31-6-2P 159006-31-69 159006-31-69 159006-31-69 159006-31-69 159006-31-69 159006-31-69 159006-31-69 159006-31-69 159006-31-69 159006-41-69 159006-41-69 159006-41-69 159006-41-69 159006-44-69 159006-44-69 159006-44-69 159006-45-69 159006-46-99

L4 ANSWER 22 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN

175659-60-6 CAPLUS
Benzamide, 4-hydroxy-N-{2-hydroxy-3-{{(4-methoxyphenyl)sulfonyl}(2-methylproyyl)amino}-1-(phenylmethyl)propyl}-2-methyl-, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

(Continued)

Absolute stereochemistry.

175659-61-7 CAPLUS
Benzamide, 5-hydroxy-N-{2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl]{2-methylpropyl}amino]-1-(phenylmethyl)propyl]-2-methyl-, [R-(R*,5*)]- (9CI)(CA INDEX NAME)

Absolute stereochemistry.

ANSWER 23 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
150006-47-0P 169280-38-0P 169280-39-1P
169280-40-1 169280-48-8P 169280-59-5P
169280-60-8P 169280-65-3P 169280-70-0P
169280-74-4P 169280-75-5P 169280-76-6P
169280-77-7P 169280-78-8P 169281-06-5P
169281-07-6P 169281-11-2P 169281-10-5P
169281-10-1P 169281-11-2P 169281-12-3P
RL: BAC (Biological activity or effector, except adverse): BSU (Biological study, unclassified): SPN (Synthetic preparation): BIOL (Biological study): PREP (Preparation)
(hydroxythylamino sulfonamides useful as retroviral protease study/1 PREP (Preparation)
(hydroxyethylamino sulfonamides useful as retroviral protease
 inhibitors)
159005-75-1 CAPLUS
Benzamide, N-[(15,2R)-2-hydroxy-3-[{(4-methoxyphenyl)sulfonyl]{2 methylpropyl)amino]-1-(phenylmethyl)propyl]-2,6-dimethyl- (9CI) (CA INDEX
NAME)

Absolute stereochemistry.

Absolute stereochemistry.

159005-77-3 CAPLUS
Benzamide, 2-ethyl-N-[(15,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino|-1-(phenylmethyl)propyl]- (9CI) (CA INDEX NAME)

159005-78-4 CAPLUS
Benzamide, 2-chloro-N-[(15,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

159006-28-7 CAPLUS
1H-Indole-5-carboxamide, N-[2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

159006-29-8 CAPLUS
Benzamide, N-[2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-2,4-dimethyl-, [R-(R*,S*)]-(SCI) [CA INDEX NAME)

Absolute stereochemistry.

ANSWER 23 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

Absolute stereochemistry.

Absolute stereochemistry.

Absolute stereochemistry.

L4 ANSWER 23 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN

159006-31-2 CAPLUS
Benzamide, N-{2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-2,5-dimethyl-, [R-(R*,S*)]-(9CI) (CA INDEX NAME)

(Continued)

Absolute stereochemistry.

159006-33-4 CAPLUS
Benzamide, N-[2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl][2-methylpropyl]amino]-1-(phenylmethyl)propyl]-2-(1-methylethyl)-,
[R-(R*,S*)]- (9Cl) (CA INDEX NAME)

Absolute stereochemistry.

159006-34-5 CAPLUS
Benzamide, N-[2-hydroxy-3-{[{4-methoxyphenyl}sulfonyl]{2-methylpropyl}amino}-1-(phenylmethyl)propyl}-2,3-dimethyl-, {R-(R*,S*)}-(9C1) (CA INDEX NAME)

Absolute stereochemistry.

 $\label{localize} $$159006-35-6$$ $$CAPLUS$$ $$Benzamide, $N-\{2-hydroxy-3-\{\{\{4-methoxyphenyl\}sulfonyl\}\}(2-methylproyl)aminoj-1-(phenylmethyl)propyl]-2-(methylsulfonyl)-, $$[R-(R^*,S^*)]-$$ (9CI)$$ $$(CA INDEX NAME)$$$

Absolute stereochemistry.

L4 ANSWER 23 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

Absolute stereochemistry.

Absolute stereochemistry.

159006-41-4 CAPLUS
Benzamide, N-[2-hydroxy-3-[(2-methylpropyl)(phenylsulfonyl)amino]-1(phenylmethyl)propyl]-, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

159006-42-5 CAPLUS
Benzamide, N-[(15,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Absolute stereochemistry.

159006-44-7 CAPLUS Benzamide, N-[2-hydroxy-3-{{(4-methoxyphenyl)sulfonyl}{2-methylpropyl}amino}-1-(phenylmethyl)propyl]-4-methyl-, [R-{R*,S*}]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 23 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

169280-38-0 CAPLUS
Benzamide, 4-(dimethylamino)-N-[[15,2R]-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

169200-39-1 CAPLUS

Benzamide, N-[(15.2R)-2-hydroxy-3-[[(4-hydroxyphenyl)sulfonyl](2-methylpropyl)smlnoj-1-(phenylmethylpropyl)-2-methyl- (9Cl) (CA INDEX

Absolute stereochemistry.

169280-40-4 CAPLUS Benzamide, 3-hydroxy-N-[(15,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 23 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

159006-45-8 CAPLUS
Benzamide, N-[(15,2R)-2-hydroxy-3-{{(4-methoxyphenyl)sulfonyl}(2-methylpropyl)amino]-1-(phenylmethyl)propyl]-2-methoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

159006-46-9 CAPLUS
Benzamide, N-[(15,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-3-methoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

159006-47-0 CAPLUS
Benzamide, N-[2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-4-methoxy-, [R-(R*,S*)]- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 23 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

169280-44-8 CAPLUS 6-Benzothiazolecarboxamide, 2-amino-N-{(15,2R)-2-hydroxy-3-[[4-methoxyphenyl]sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl}-(9CI) (CA INDEX NAME)

Absolute Stereochemistry:

169280-59-5 CAPLUS
Benzamide, N-[(15.2R)-3-[[(4-aminophenyl)sulfonyl](2-methylpropyl)amino]-2-hydroxyl-1(phenylmethyl)propyl]-2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

169280-60-8 CAPUS Benzamide, N-[(15,2R)-3-{{((-aminophenyl)sulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-3-hydroxy-2-methyl- (9Cl) (CA INBEX NAME)

ANSWER 23 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
169280-65-3 CAPLUS
Benzamich, N-{(15, 2R)-3-[{(3-aminophenyl)sulfonyl}{2-methylpropyl}amino]-2hydroxy-1-(phenylmethyl)propyl)-3-hydroxy-2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

169280-70-0 CAPLUS

Benzamide, 3-amino-N-[(15,2R)-3-[[(2,3-dihydro-5-benzofuranyl)sulfonyl](2-bentylpropyl)amino)-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl- (9CI) (CAINDEX NAME)

Absolute stereochemistry.

169280-74-4 CAPLUS
Benzamide, 3-amino-N-[(15,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl}-2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

169280-75-5 CAPLUS
Benzamide, N-[(15,2R)-3-{(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)anino}-2-hydroxy-1-(phenylmethyl)propyl]-4-hydroxy-2-methyl-(9C1) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 23 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN

169281-06-5 CAPLUS
Benzamide, N-[(1S,2R)-2-hydroxy-3-[(3-methylbutyl)(phenylsulfonyl)amino]-1-(phenylmethyl)propyl}- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

169281-07-6 CAPLUS
Benzamide, N-{(15, ZR)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-2-methyl-3-nitro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

169281-08-7 CAPLUS

Benzamide, 3-amino-N-[(15,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)aminoj-1-[phenylmethyl)propyl]-2-methyl- (9CI) (CA INDEX

Absolute stereochemistry.

169281-09-8 CAPLUS
Benzamide, 3-(dimethylamino)-N-[(15,2R)-2-hydroxy-3-[[4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 23 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

169280-76-6 CAPLUS
Benzamide, N-[(15,2R)-3-{(1,3-benzodioxol-5-ylsulfonyl)(2-methylpopyl)amino)-2-bydroxy-1-(phenylmethyl)propyl]-3-hydroxy-2-methyl-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

169280-77-7 CAPLUS
Benzamide, 4-amino-N-[(15,2R)-2-hydroxy-3-[{(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

169280-78-8 CAPLUS
Benzamide, N-[(15,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-2-methyl-4-nitro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 23 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

169281-10-1 CAPLUS Benzamide, N-([15,2R)-2-hydroxy-3-{[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-2-methyl-5-nitro-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

169281-11-2 CAPLUS
Benzamide, 5-amino-N-[(15,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-2-methyl- (9Cl) (CA INDEX NAME)

Absolute stereochemistry.

169281-12-3 CAPLUS
Benzamide, 5-(dimethylamino)-N-[{15,2R}-2-hydroxy-3-[{4-methoxyphenyl}sulfonyl}{2-methylpropyl}amino}-1-(phenylmethyl)propyl}-2-methyl- (9C1) (CA INDEX NAME)

(Continued)
20050421
A2 19920825
A3 19930824
A2 19930824
A2 19930824
A2 19940302
B2 19940302
A1 19940823
A3 19950525
A1 19990408
A1 20012035
A1 2002035
A3 20020722
A1 20030804

OTHER SOURCE(S): MARPAT 121:301324

Title compds. [I and II; R = H, alkoxycarbonyl, aralkoxycarbonyl, alkylcarbonyl, cycloalkylcarbonyl, heteroaryloxyalkyl, hydroxyalkyl, aryl, alkyl, alkenyl, alkynyl, substituted aminocarbonyl, etc.; R' = H, R3, R''SO2, RR'N = heterocyclyl, heteroaryl; Rl = H, CH2SO2NH2, CH2CO2Me, CO2Me, COMH2, CMe2SH, alkyl, haloalkyl, alkenyl, alkynyl, cycloalkyl, amino acid side chains, etc.; Rl', Rl'' = H, Rl: 1 of Rl', Rl'' together with Rl form a cycloalkyl radical; R2 = (substituted) alkyl, aryl, cycloalkyl, cycloalkyl, radical; R3 = H, alkyl, haloalkyl, alkenyl, alkynyl, hydroxyalkyl, alkoxyl, kyl, cycloalkyl, heterocycloalkyl, tet.; R4 = R3, except H; R6 = H, alkyl; x = 0-2; t = 0, 1; Y = 0, S, iminol, were prepared Thus, title compound (III, solution phase preparation given) inhibited HIV protease IC50 = 16 nM.
159005-75-1P 159005-76-2P 159005-77-3P 159005-78-4P 159006-28-PP 159006-29-BP

L4 ANSWER 24 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1994:701324 CAPLUS
DOCUMENT NUMBER: 121:301324
TITLE: Preparation of the state of the state

121:301324
Preparation of hydroxyethylamino sulfonamides useful as retroviral protease inhibitors
Vazquez, Michael L., Mueller, Richard A.; Talley, John J.; Getnan, Daniel: Decrescenzo, Gary A.; Freskos, INVERTOR (S):

John N.
G.D. Searle and Co., USAr Monsanto Co.
PCT Int. Appl., 198 pp.
CODEN: PIXXD2
Patent PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE: English 6

LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PA:	9404	NO.			KIN	D	DATE			APP	LIC	ΑT	ION	NO.	_	D.	ATE	
wo.	9404	492			Al		1994	0303		vo.	199	3-1	US78	14		1	9930	824
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AU	6806	35			B2		1997	0807										
ΕP	6568	87			A1		1995	0614		EΡ	199	3-9	9237	14		1	9930	824
ËΡ	6568	87			Bì		1998	1028										
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EΡ	8102	09			A2		1997	1203		EP	199	7-	1134	34		1	9930	824
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US	6846	954			B2		2005	0125				_						
US	6924	286			B1		2005	0802		US	200	3-1	5333	76		21	0030	B 0 4
US	2004	2299	22		A1		2004	1118		US	200	4-1	3123	43		21	0040	330

ANSWER 24 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
159006-31-2P 159006-33-4P 159006-34-5P
159006-36-6P 159006-36-6P 159006-37-8P
159006-14-4P 159006-43-6P 159006-43-6P
159006-44-1P 159006-42-5P 159006-43-6P
159006-44-7P 159006-45-8P 159006-46-9P
159006-44-7P 159006-45-8P 159006-46-9P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. of, as HIV protease inhibitor)
159005-75-1 CAPLUS
Benzamide, N-[(15,2R)-2-hydroxy-3-[((4-methoxyphenyl)sulfonyl)(2-methylpropyl)amino]-1-(phenylmethyl)propyl]-2,6-dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

159005-76-2 CAPLUS
Benzamide, N-[(15,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino}-1-(phenylmethyl)propyl]-2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

159005-77-3 CAPLUS
Benzamide, 2-ethyl-N-[(15,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]- (9CI) (CA INDEX NAME)

ANSVER 24 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) 159005-78-4 CAPLUS Benzamide, 2-chloro-N-[(1S, ZR)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

159006-28-7 CAPLUS
1H-Indole-5-carboxanide, N-[2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-, {R-(R*,S*)}- (9CI) (CA INDEX NAME)

Absolute Stereochemistry.

 $\label{locality} $$159006-29-8$ $$CAPLUS$ $$Benzaalide, $N-\{2-hydroxy-3-\{\{(4-methoxyphenyl\} \mbox{sulfonyl}\} (2-methylroypyl] \mbox{amin} -1-\{phenylmethylropyl]-2,4-dimethyl-, $\{R-\{R^*,5^*\}\}-(9CI)$ $$(CA INDEX NAME)$ $$$

Absolute stereochemistry.

159006-31-2 CAPLUS
Benzamide, N-[2-hydroxy-3-{[{4-methoxyphenyl}sulfonyl]{2-methylpropyl}amino]-1-(phenylmethyl)propyl}-2,5-dimethyl-, [R-(R*,5*)]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 24 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

159006-36-7 CAPLUS
Benzamide, N-[2-hydroxy-3-[[{4-methoxyphenyl)sulfonyl](2-methylpropyl)amino|-1-(phenylmethyl)propyl]-3-(methylsulfonyl)-,
[R-(R*,S*)]- (9CI) (CA INDEX NAME)

 $\label{localization} $$159006-37-8$$ $$CAPLUS$$ $$Benzamide, N-[2-hydroxy-3-[[{4-methoxyphenyl}sulfonyl](2-meth)!propyl]amino|-1-(phenylmethyl)propyl]-4-(methylsulfonyl)-, $$[R-(R^*,S^*)]-$$ $$(CA INDEX NAME)$$$

Absolute stereochemistry.

159006-38-9 CAPLUS
Benzamide, N-[2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-2-[(methylsulfonyl)methyl]-,
[R-(R*,5*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 24 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

159006-33-4 CAPLUS
Benzamide, N-(2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-2-(1-methylethyl)-,
[R-(R*,5*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

159006-34-5 CAPLUS Benzamide, N-[2-hydroxy-3-{[{4-methoxyphenyl}sulfonyl}{2-methylpropyl}aminoj-1-[phenylmethyl)propyl}-2,3-dimethyl-, [R-{R*,5*}]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

 $\label{lem:continuous} \begin{tabular}{ll} 159006-35-6 & CAPLUS \\ Benzamide, & N-\{2-hydroxy-3-\{[\{4-methoxyphenyl\}sulfonyl]\}(2-methylpropyl), amino]-1-(phenylmethyl)propyl]-2-(methylsulfonyl)-, \\ [R-(R^*,S^*)]- & [9CI) & (CA INDEX NAME) \\ \end{tabular}$

Absolute stereochemistry.

L4 ANSWER 24 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

Absolute stereochemistry.

159006-41-4 CAPLUS
Benzamide, N-[2-hydroxy-3-[(2-methylpropyl) (phenylsulfonyl) amino]-1-(phenylmethyl)propyl]-, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

159006-42-5 CAPLUS
Benzamide, N-[(1S,2R)-2-hydroxy-3-{{(4-methoxyphenyl) sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

159006-43-6 CAPLUS
Benzamide, N-[(IS,ZR)-2-hydroxy-3-{{(4-methoxyphenyl)sulfonyl}(2-methylpropyl)amino}-1-(phenylmethyl)propyl]-3-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

 $159006-44-7 \quad CAPLUS \\ Benzamide, N-\{2-hydroxy-3-\{\{4-methoxyphenyl\}sulfonyl\}\{2-methylpropyl\}amino]-1-(phenylpethyl)propyl]-4-methyl-, [R-(R^*,S^*)]- (9CI) \\ (CA INDEX NAME)$

Absolute stereochemistry.

L4 ANSWER 24 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

L4 ANSWER 24 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

159006-45-8 CAPLUS
Benzamide, N-[(15,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)smino]-1-(phenylmethyl)propyl]-2-methoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

159006-46-9 CAPLUS
Benzamide, N-[(15,2R)-2-hydroxy-3-[[(4-methoxyphenyl) sulfonyl] (2-methylpropyl) amino]-1-(phenylmethyl)propyl]-3-methoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

159006-47-0 CAPLUS
Benzamide, N-[2-hydroxy-3-[{(4-methoxyphenyl)sulfonyl}(2-methylpropyl)amino]-1-(phenylmethyl)propyl]-4-methoxy-, [R-(R*,S*)]- (9CI) (CA INDEX NAME)